

Case/Application number: 10/593,010
 Priority Filing Date: 03/29/2004
 Format for Search Results: Score
 Meaning of unusual acronyms or initialisms:

EX 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58 59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83 84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 99 100 101 102 103 104 105 106 107 108 109 110 111 112 113 114 115 116 117 118 119 120 121 122 123 124 125 126 127 128 129 130 131 132 133 134 135 136 137 138 139 140 141 142 143 144 145 146 147 148 149 150 151 152 153 154 155 156 157 158 159 160 161 162 163 164 165 166 167 168 169 170 171 172 173 174 175 176 177 178 179 180 181 182 183 184 185 186 187 188 189 190 191 192 193 194 195 196 197 198 199 200 201 202 203 204 205 206 207 208 209 210 211 212 213 214 215 216 217 218 219 220 221 222 223 224 225 226 227 228 229 230 231 232 233 234 235 236 237 238 239 240 241 242 243 244 245 246 247 248 249 250 251 252 253 254 255 256 257 258 259 260 261 262 263 264 265 266 267 268 269 270 271 272 273 274 275 276 277 278 279 280 281 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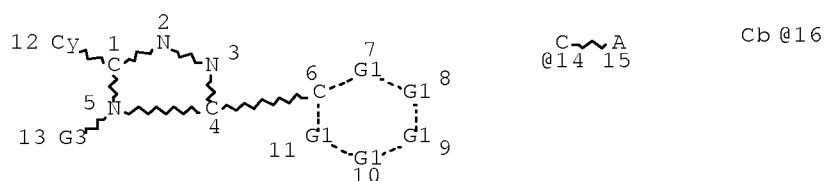
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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RSPEC I
NUMBER OF NODES IS 12
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L15     576 SEA FILE=REGISTRY ABB=ON  PLU=ON  HYDROXYSTEROID(L) DEHYDROGENA
        SE
L16     11579 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "11B-HYDROXYSTEROID
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L30     STR
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VAR G3=AK/16

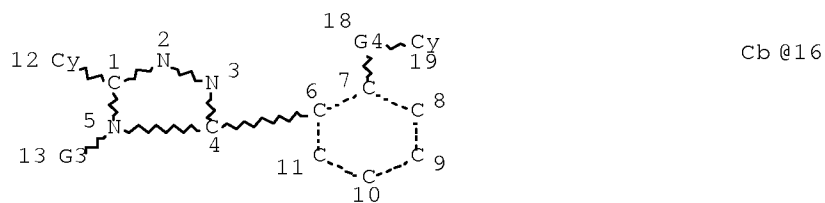
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DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY   LOC  AT 16
DEFAULT ECLEVEL IS LIMITED

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RSPEC I
NUMBER OF NODES IS 16
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L36 STR



REP G4=(0-20) A

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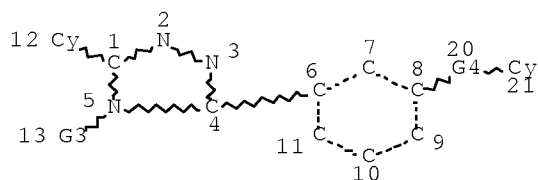
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DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 16

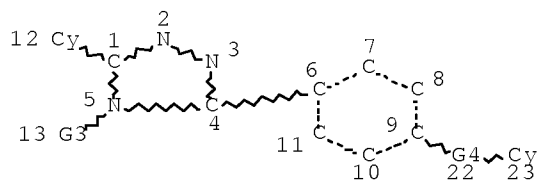
STEREO ATTRIBUTES: NONE
L38 STR



VAR G3=AK/16
REP G4=(0-20) A
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY LOC AT 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 16

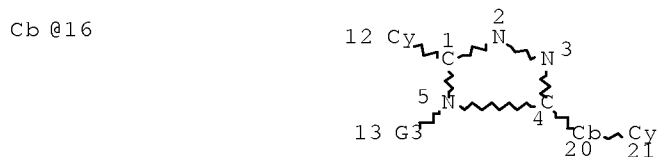
STEREO ATTRIBUTES: NONE
L39 STR



VAR G3=AK/16
REP G4=(0-20) A
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY LOC AT 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L40 1457 SEA FILE=REGISTRY SUB=L3 SSS FUL L30 NOT (L36 OR L38 OR L39)
L41 STR



VAR G3=AK/16
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 GGCAT IS MCY LOC AT 16
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
 L42 1183 SEA FILE=REGISTRY SUB=L40 SSS FUL L30 NOT L41
 L43 382 SEA FILE=HCAPLUS ABB=ON PLU=ON L42
 L44 22 SEA FILE=HCAPLUS ABB=ON PLU=ON L43(L)INHIBIT?
 L45 18 SEA FILE=HCAPLUS ABB=ON PLU=ON L43 AND L16
 L46 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L44 OR L45

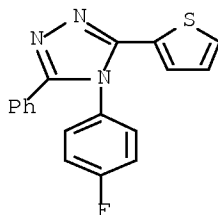
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L46 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:1373536 HCAPLUS Full-text
 DOCUMENT NUMBER: 150:89636
 TITLE: Scaffold-hopping cascade yields potent inhibitors of
 5-lipoxygenase
 AUTHOR(S): Hofmann, Bettina; Franke, Lutz; Proschak, Ewgenij;
 Tanrikulu, Yusuf; Schneider, Petra; Steinhilber,
 Dieter; Schneider, Gisbert
 CORPORATE SOURCE: Institute of Organic Chemistry and Chemical Biology,
 ZAFES/CMP, Johann Wolfgang Goethe-University,
 Frankfurt am Main, 60323, Germany
 SOURCE: ChemMedChem (2008), 3(10), 1535-1538
 CODEN: CHEMGX; ISSN: 1860-7179
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB In this study, ligand-based virtual screening methods were used in an
 iterative fashion to identify new inhibitors of 5-lipoxygenase (5-LO) product
 formation. The study consisted of four subsequent cycles of virtual
 screening, including 3D- and 2D-based methods and substructure searching, as
 well as biochem. testing. The iterative steps led to the discovery of a
 pyridine-imidazole-based lead structure series with nanomolar inhibitory
 activity in a cellular assay, demonstrating the applicability of advanced
 virtual screening techniques for designing small, focused, screening libraries
 that yield high hit rates in cell-based assays.

IT 482625-95-6
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
 use); BIOL (Biological study); USES (Uses)
 (scaffold-hopping cascade yields potent inhibitors of
 5-lipoxygenase)

RN 482625-95-6 HCAPLUS
 CN 4H-1,2,4-Triazole, 4-(4-fluorophenyl)-3-phenyl-5-(2-thienyl)- (CA INDEX
 NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:668238 HCAPLUS Full-text

DOCUMENT NUMBER: 149:215068

TITLE: 4-Methyl-5-phenyl triazoles as selective inhibitors of 11 β -hydroxysteroid dehydrogenase type I

AUTHOR(S): Zhu, Yuping; Olson, Steven H.; Hermanowski-Vosatka, Anne; Mundt, Steven; Shah, Kashmira; Springer, Marty; Thieringer, Rolf; Wright, Samuel; Xiao, Jianying; Zokian, Hratch; Balkovec, James M.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(11), 3405-3411

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:215068

AB 4-Methyl-5-phenyl-(1,2,4)-triazoles were identified as selective inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1). They were active in vitro and in an in vivo mouse pharmacodynamic (PD) model. The synthesis and structure activity relationships are presented.

IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(I, inhibitors; triazoles as inhibitors of hydroxysteroid dehydrogenase)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

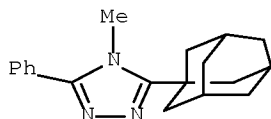
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IT 581788-60-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triazoles as inhibitors of hydroxysteroid dehydrogenase)

RN 581788-60-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:581004 HCAPLUS Full-text

DOCUMENT NUMBER: 149:79553

TITLE: Bis-aryl triazoles as selective inhibitors of
11 β -hydroxysteroid dehydrogenase type 1

AUTHOR(S): Aster, Susan D.; Graham, Donald W.; Kharbanda, Divya;
Patel, Gool; Ponpipom, Mitree; Santorelli, Gina M.;
Szymonifka, Michael J.; Mundt, Steven S.; Shah,
Kashmira; Springer, Marty S.; Thieringer, Rolf;
Hermanowski-Vosatka, Anne; Wright, Samuel D.; Xiao,
Jianying; Zokian, Hratch; Balkovec, James M.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck & Co., Inc.,
Rahway, NJ, 07065, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2008),
18(9), 2799-2804

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:79553

AB 3-Aryl-5-phenyl-(1,2,4)-triazoles were identified as selective inhibitors of
11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1). They are active in both
in vitro and an in vivo mouse pharmacodynamic (PD) model. The synthesis and
structure activity relationships are presented.

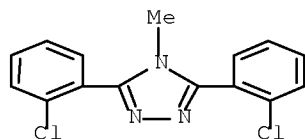
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1033977-15-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)

(preparation of disubstituted methyltriazoles and their selective
hydroxysteroid dehydrogenase inhibitory activity ad SAR)

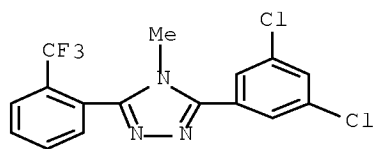
RN 80590-20-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



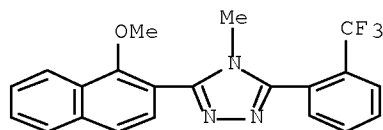
RN 867290-17-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



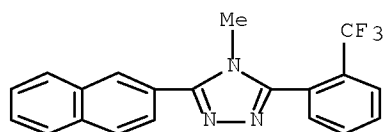
RN 867290-18-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



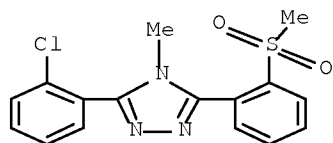
RN 867290-19-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



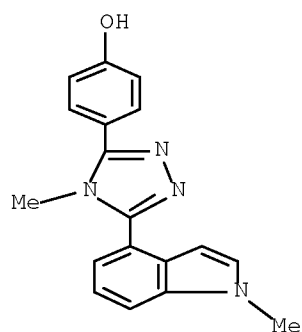
RN 867290-20-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



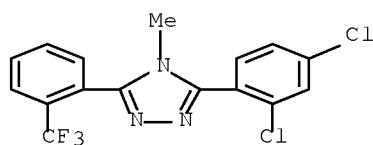
RN 867290-21-9 HCAPLUS

CN Phenol, 4-[4-methyl-5-(1-methyl-1H-indol-4-yl)-4H-1,2,4-triazol-3-yl]-
(CA INDEX NAME)



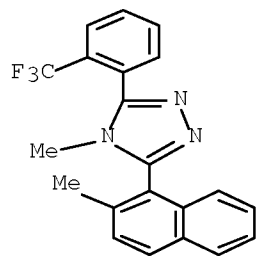
RN 867290-23-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



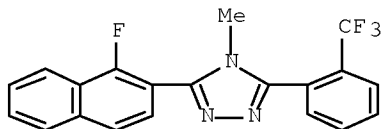
RN 867290-24-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methyl-1-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



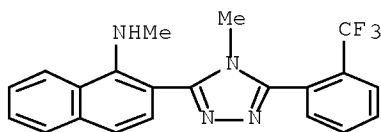
RN 867290-25-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(1-fluoro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



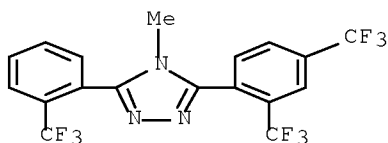
RN 867290-26-4 HCAPLUS

CN 1-Naphthalenamine, N-methyl-2-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



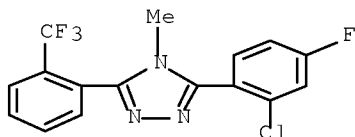
RN 867290-27-5 HCAPLUS

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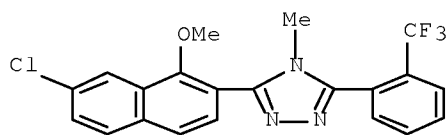
RN 867290-30-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chloro-4-fluorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



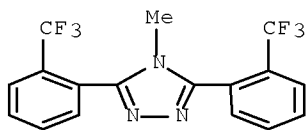
RN 867290-34-4 HCAPLUS

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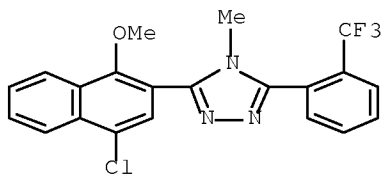
RN 867290-36-6 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3,5-bis[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



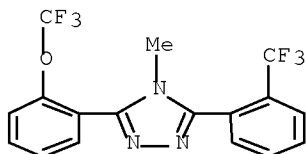
RN 867290-38-8 HCAPLUS

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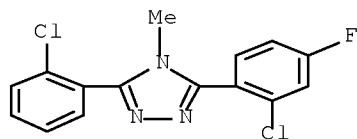
RN 867290-43-5 HCAPLUS

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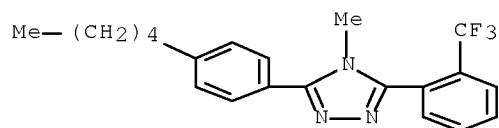
RN 867290-44-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chloro-4-fluorophenyl)-5-(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



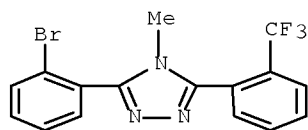
RN 867290-46-8 HCAPLUS

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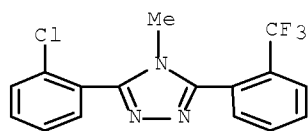
RN 867290-54-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



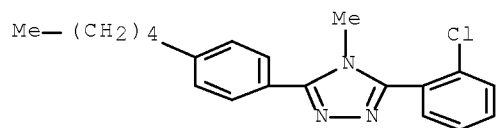
RN 867290-55-9 HCAPLUS

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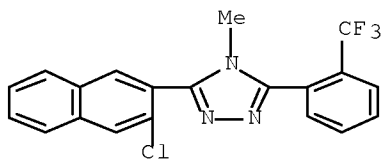
RN 867290-57-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-pentylphenyl)- (CA INDEX NAME)



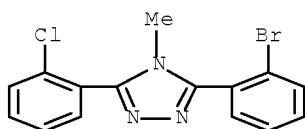
RN 867290-59-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3-chloro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



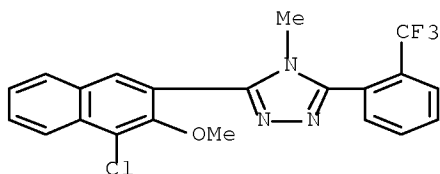
RN 867290-68-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-5-(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



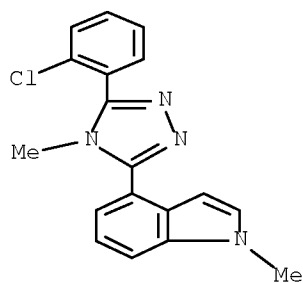
RN 867290-72-0 HCAPLUS

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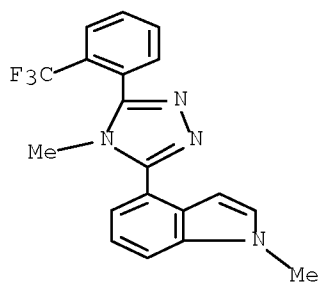
RN 867290-79-7 HCAPLUS

CN 1H-Indole, 4-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)



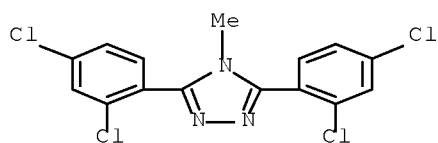
RN 867290-80-0 HCAPLUS

CN 1H-Indole, 1-methyl-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



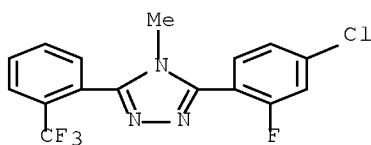
RN 1033976-92-9 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(2,4-dichlorophenyl)-4-methyl- (CA INDEX NAME)



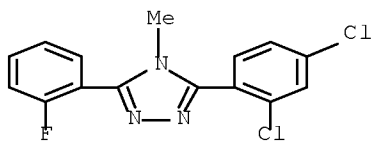
RN 1033976-93-0 HCAPLUS

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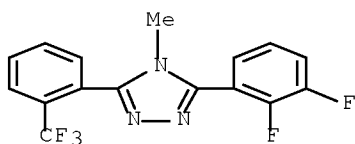
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CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-5-(2-fluorophenyl)-4-methyl- (CA INDEX NAME)



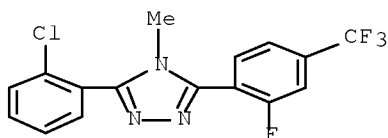
RN 1033976-95-2 HCAPLUS

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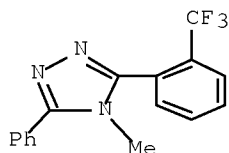
RN 1033976-96-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[2-fluoro-4-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



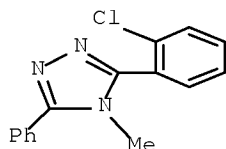
RN 1033976-97-4 HCAPLUS

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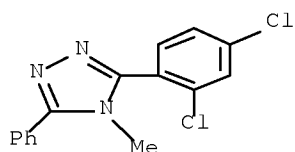
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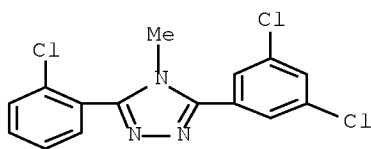
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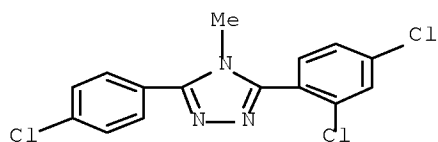
RN 1033977-00-2 HCAPLUS

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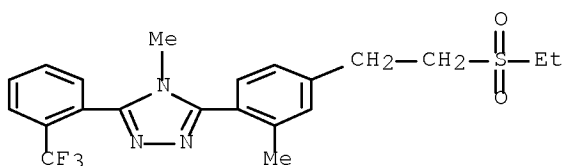
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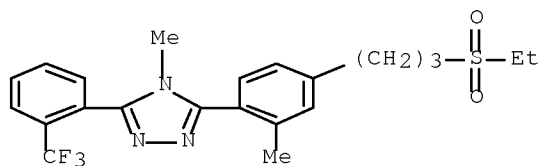
RN 1033977-02-4 HCAPLUS

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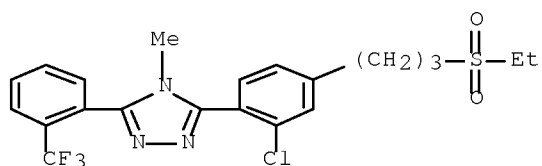
RN 1033977-03-5 HCAPLUS

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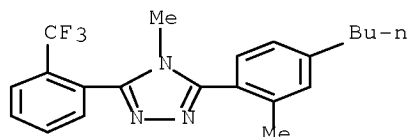
RN 1033977-04-6 HCAPLUS

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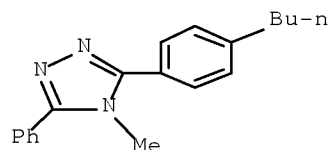
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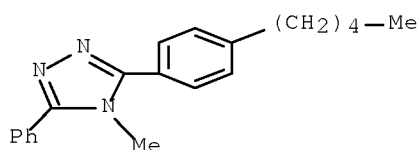
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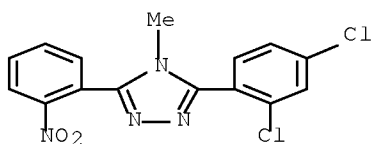
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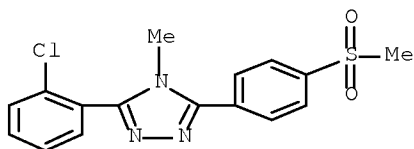
RN 1033977-09-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-(2-nitrophenyl)- (CA INDEX NAME)



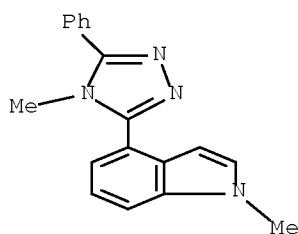
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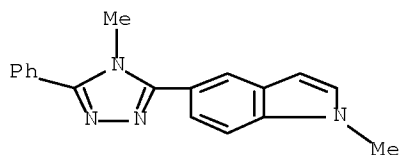
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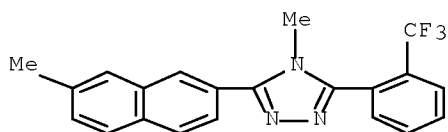
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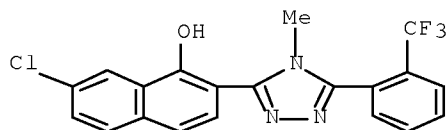
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CN 4H-1,2,4-Triazole, 4-methyl-3-(7-methyl-2-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



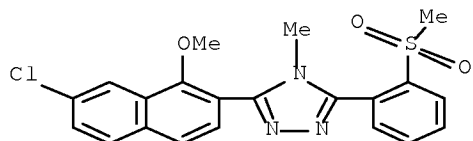
RN 1033977-14-8 HCAPLUS

CN 1-Naphthalenol, 7-chloro-2-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 1033977-15-9 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(7-chloro-1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(methanesulfonyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:473647 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 148:441049

TITLE: Protein kinase inhibitors and methods for using thereof

INVENTOR(S): Mi, Yuan; Albaugh, Pamela A.

PATENT ASSIGNEE(S): Irm LLC, Bermuda

SOURCE: PCT Int. Appl., 48pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008045627	A2	20080417	WO 2007-US76871	20070827
WO 2008045627	A3	20081113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-850361P P 20061006
 OTHER SOURCE(S): MARPAT 148:441049

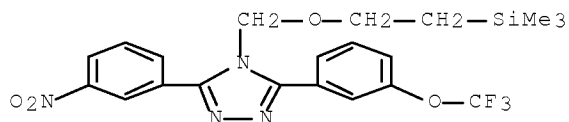
AB The invention provides compds. and pharmaceutical compns. thereof, which are useful as protein kinase inhibitors, and methods for using such compds. to treat, ameliorate or prevent a condition associated with abnormal or deregulated kinase activity. In some embodiments, the invention provides methods for using such compds. to treat, ameliorate or prevent diseases or disorders that involve abnormal activation of TrkA, TrkB, TrkC, Abl, Bcr-Abl, cSrc, TPR-Met, Tie2, MET, FGFR3, Aurora, Axl, Bmx, BTK, c-kit, CHK2, Flt3, MST2, p70S6K, PDGFR, PKB, PKC, Raf, ROCK-II, Rsk1, and SGK kinases, or a combination thereof.

IT 1018838-65-7P 1018838-66-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (protein kinase inhibitors and pharmaceutical compns. for disease treatment)

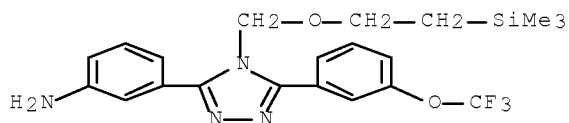
RN 1018838-65-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3-nitrophenyl)-5-[3-(trifluoromethoxy)phenyl]-4-[[2-(trimethylsilyl)ethoxy)methyl]- (CA INDEX NAME)



RN 1018838-66-8 HCAPLUS

CN Benzenamine, 3-[5-[3-(trifluoromethoxy)phenyl]-4-[[2-(trimethylsilyl)ethoxy)methyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



L46 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:398778 HCAPLUS Full-text

DOCUMENT NUMBER: 148:575832

TITLE: Docking-based 3D-QSAR study for 11β-HSD1 inhibitors

AUTHOR(S): Lee, Jin Hee; Kang, Nam Sook; Yoo, Sung-Eun

CORPORATE SOURCE: Center for Drug Discovery Technologies, Korea Research Institute of Chemical Technology, Yu seong-gu, Daejeon, 305-600, S. Korea

SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(7), 2479-2490

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 11β-Hydroxysteroid dehydrogenase (11β-HSD) enzymes catalyze the conversion of biol. inactive 11-ketosteroids into their active 11β-hydroxy derivs. and vice versa. 11β-HSD1 has been studied as a potential treatment for metabolic disease such as diabetes and obesity. To find correlation between 11β-HSD1 and inhibitors, three-dimensional quant. structure-activity relationship (3D-QSAR) studies were performed on 70 inhibitors, based on mol. docking conformations obtained by using FlexX-Pharm. The studies include comparative mol. field anal. (CoMFA) and comparative mol. similarity indexes anal. (CoMSIA). Based on the docking results, highly predictive 3D-QSAR models were developed with q² values of 0.543 and 0.519 for CoMFA and CoMSIA, resp. A comparison of the 3D-QSAR field contributions with the structural features of the binding site showed good correlation between the two analyses. Therefore, these results should be useful to the prediction of the activities of new 11β-HSD1 inhibitors.

IT 9041-46-7, 11β-Hydroxysteroid dehydrogenase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(docking-based 3D-QSAR study for 11β-HSD1 inhibitors)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11β-hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

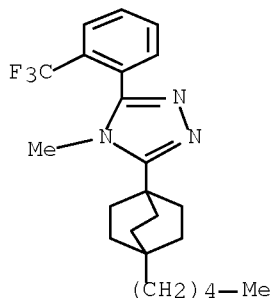
IT 719272-85-2

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(docking-based 3D-QSAR study for 11β-HSD1 inhibitors)

RN 719272-85-2 HCAPLUS

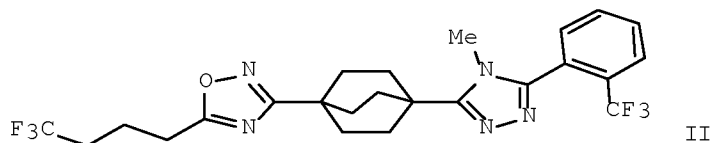
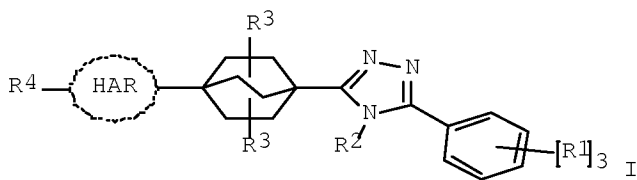
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:845838 HCAPLUS Full-text
 DOCUMENT NUMBER: 147:235179
 TITLE: Preparation of triazole derivatives as inhibitors of
 11 β -hydroxysteroid dehydrogenase-1
 INVENTOR(S): Kevin, Nancy J.; Gu, Xin; Waddell, Sherman T.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 39pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007087150	A2	20070802	WO 2007-US351	20070109
WO 2007087150	A3	20071206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007208515	A1	20070802	AU 2007-208515	20070109
CA 2635211	A1	20070802	CA 2007-2635211	20070109
EP 1973915	A2	20081001	EP 2007-709583	20070109
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20090036503	A1	20090205	US 2008-87090	20080625
PRIORITY APPLN. INFO.:			US 2006-759178P	P 20060113
			WO 2007-US351	W 20070109
OTHER SOURCE(S):	MARPAT 147:235179			
GI				

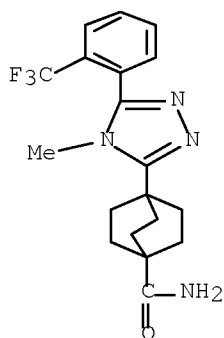


AB The title compds. I [R1 = H, halo, (halo)alkyl, (halo)alkoxy; R2 = H, (halo)alkyl; R3 = H, OH or oxo; R4 = alkyl or alkenyl, each substituted with a CF3 group and optionally further substituted with 1-4 halo atoms and 1-2 moieties selected from the group consisting of OH, (halo)alkoxy, NH2, etc.; HAR = 5-membered heteroaryl containing 1-4 heteroatoms] which are selective inhibitors of the 11 β -hydroxysteroid dehydrogenase-1 and are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Metabolic Syndrome, and other symptoms associated with NIDDM, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-(methoxycarbonyl)bicyclo[2.2.2]octane-1-carboxylic acid, was given. Using human 11 β -HSD-1 enzyme, the compds. I demonstrate an IC50 value in the range of about 9 nM to about 100 nM. In contrast, the range of demonstrated activity for 11 β -HSD-2 is from about 1.7 μ M to greater than 4 μ M.

IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase-1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of triazole derivs. as inhibitors of 11 β -hydroxysteroid dehydrogenase-1)
 RN 9041-46-7 HCAPLUS
 CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

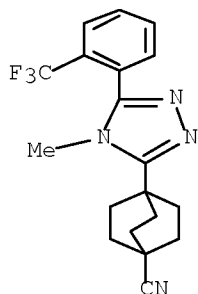
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 719274-83-6P 719274-84-7P 719274-90-5P
 935273-84-0P 935273-87-3P 945495-58-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of triazole derivs. as inhibitors of 11 β -hydroxysteroid dehydrogenase-1)
 RN 719274-83-6 HCAPLUS
 CN Bicyclo[2.2.2]octane-1-carboxamide, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



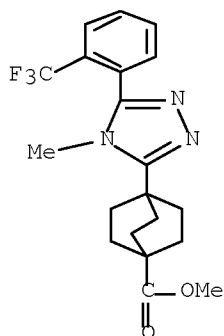
RN 719274-84-7 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carbonitrile,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA
INDEX NAME)



RN 719274-90-5 HCAPLUS

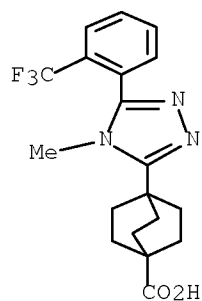
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl
ester (CA INDEX NAME)



RN 935273-84-0 HCAPLUS

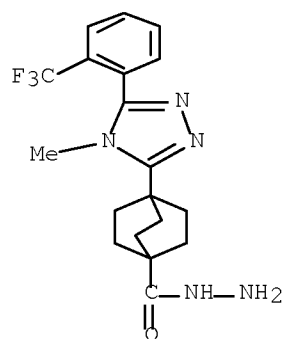
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA

INDEX NAME)



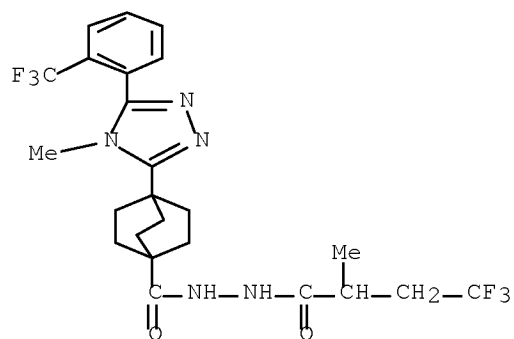
RN 935273-87-3 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-,
hydrazide (CA INDEX NAME)



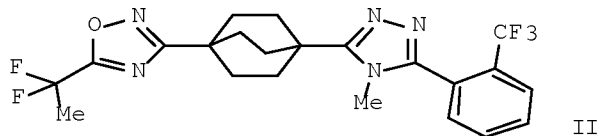
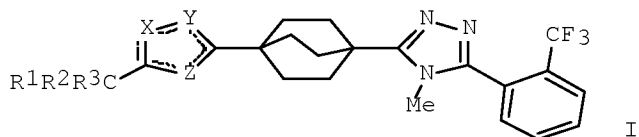
RN 945495-58-9 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-,
2-(4,4,4-trifluoro-2-methyl-1-oxobutyl)hydrazide (CA INDEX NAME)



L46 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:461467 HCAPLUS Full-text
 DOCUMENT NUMBER: 146:462263
 TITLE: Preparation of triazole derivatives as inhibitors of
 11 β -hydroxysteroid dehydrogenase-1
 INVENTOR(S): Waddell, Sherman T.; Balkovec, James M.; Kevin, Nancy
 J.; Gu, Xin
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 33pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007047625	A2	20070426	WO 2006-US40459	20061016
WO 2007047625	A3	20071011		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006304434	A1	20070426	AU 2006-304434	20061016
CA 2625871	A1	20070426	CA 2006-2625871	20061016
EP 1940393	A2	20080709	EP 2006-817031	20061016
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR			
IN 2008DN03156	A	20080808	IN 2008-DN3156	20080417
MX 200805105	A	20080502	MX 2008-5105	20080418
KR 2008059236	A	20080626	KR 2008-709369	20080418
CN 101291672	A	20081022	CN 2006-80038959	20080418
NO 2008002278	A	20080717	NO 2008-2278	20080519
PRIORITY APPLN. INFO.:			US 2005-728723P	P 20051020
			WO 2006-US40459	W 20061016
OTHER SOURCE(S):	MARPAT 146:462263			
GI				



AB The title compds. I [2 of X, Y and Z = N atoms, and the other = O atom; R1 and R2 are taken together with the atom to which they are attached and represent a cyclobutyl group (optionally substituted with 1-2 F atoms), and R3 = H or F; or R1 = Me, R2 = Me or F, and R3 = F] that are selective inhibitors of the 11 β -hydroxysteroid dehydrogenase-1 and therefore are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Metabolic Syndrome, and other symptoms associated with NIDDM, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-(methoxycarbonyl)bicyclo[2.2.2]octane-1-carboxylic acid, was given. Compds. I demonstrate an IC₅₀ value in the range of about 9 nM to about 100 nM against human 11 β -HSD-1. In contrast, the range of demonstrated activity for 11 β -HSD-2 is from about 1.7 μ M to greater than 4 μ M.

IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase-1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of triazole derivs. as inhibitors of 11 β -hydroxysteroid dehydrogenase-1)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

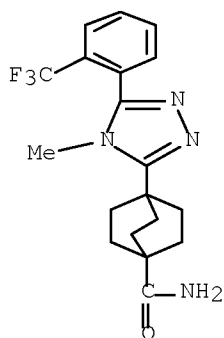
IT 719274-83-6P 719274-84-7P 719274-90-5P
 935273-84-0P 935273-85-1P 935273-87-3P
 935273-88-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of triazole derivs. as inhibitors of 11 β -hydroxysteroid dehydrogenase-1)

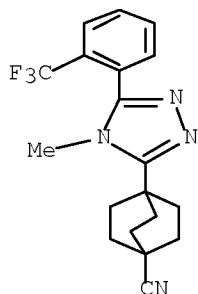
RN 719274-83-6 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carboxamide,
 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



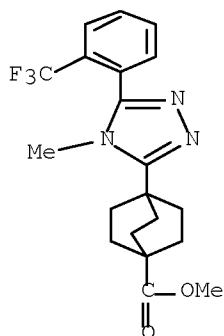
RN 719274-84-7 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carbonitrile,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA
INDEX NAME)



RN 719274-90-5 HCAPLUS

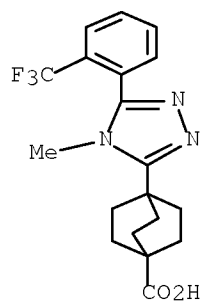
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl
ester (CA INDEX NAME)



RN 935273-84-0 HCAPLUS

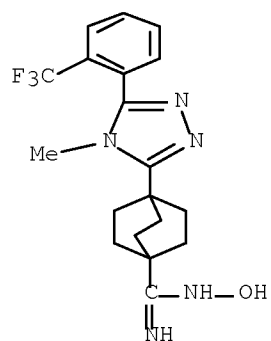
CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA

INDEX NAME)



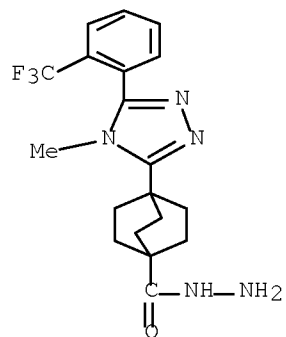
RN 935273-85-1 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carboximidamide,
N-hydroxy-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-
(CA INDEX NAME)

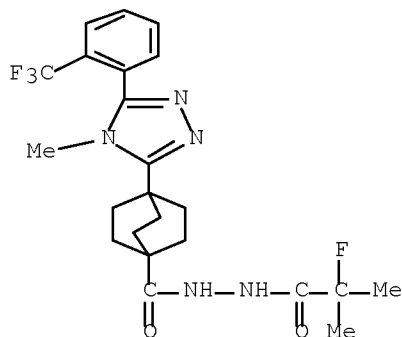


RN 935273-87-3 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-,
hydrazide (CA INDEX NAME)



RN 935273-88-4 HCAPLUS
 CN Bicyclo[2.2.2]octane-1-carboxylic acid,
 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-,
 2-(2-fluoro-2-methyl-1-oxopropyl)hydrazide (CA INDEX NAME)

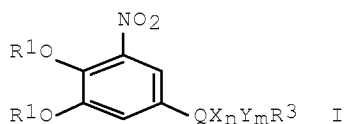


L46 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:117521 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 146:206312
 TITLE: Preparation of pyridyloxadiazoledinitrobenzenediols and related compounds as catechol O-methyltransferase (COMT) inhibitors.
 INVENTOR(S): Learmonth, David Alexander; Kiss, Laszlo Erno; Leal Palma, Pedro Nuno; Dos Santos Ferreira, Humberto; Araujo Soares Da Silva, Patricio Manuel Vieira
 PATENT ASSIGNEE(S): Portela & Ca. S.A., Port.
 SOURCE: PCT Int. Appl., 82pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007013830	A1	20070201	WO 2006-PT20	20060726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006272978	A1	20070201	AU 2006-272978	20060726
CA 2616377	A1	20070201	CA 2006-2616377	20060726
EP 1907382	A1	20080409	EP 2006-769520	20060726
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

KR 2008033243	A	20080416	KR 2008-700434	20080107
CN 101248064	A	20080820	CN 2006-80026614	20080121
MX 200801094	A	20080624	MX 2008-1094	20080124
NO 2008000981	A	20080417	NO 2008-981	20080225
IN 2008DN01612	A	20080725	IN 2008-DN1612	20080225
PRIORITY APPLN. INFO.:			GB 2005-15327	A 20050726
			EP 2006-8203	A 20060420
			EP 2006-11073	A 20060530
			WO 2006-PT20	W 20060726
OTHER SOURCE(S):		CASREACT 146:206312; MARPAT 146:206312		
GI				



AB Title compds. [I; R1, R2 = H, group hydrolyzable under physiol. conditions, (substituted) alkanoyl, aroyl; X = CH2; Y = O, N, S; R3 = (substituted) pyridine-N-oxide; Q = 1,3,4-oxadiazol-2,5-diyl, 1,3,5-triazin-2,4-diyl, 2H-tetrazol-2,5-diyl, 1,2,3-thiadiazol-4,5-diyl, etc.; n = 0-3; m = 0, 1], were prepared Thus, 3,4-dibenzyloxy-5-nitrobenzoic acid in DMF was treated with carbonyldiimidazole and then with N'-hydroxypyridine-4-carboximidamide followed by stirring overnight at room temperature and heating at 110° for 3 h to give 62% 4-[5-(3,4-bisbenzyloxy-5-nitrophenyl)-1,2,4-oxadiazol-3-yl]pyridine. The latter was treated with 3-ClC6H4CO(OOH) in CH2Cl2 to give 70% 1-oxide, which in CH2Cl2 was treated with BBr3 at -78° to room temperature to give 69% 3-nitro-5-[3-(1-oxypyridin-4-yl)-1,2,4-oxadiazol-5-yl]benzene-1,2-diol. This at 3 mg/kg orally in mice reduced mouse liver COMT activity to 42.1% of untreated controls.

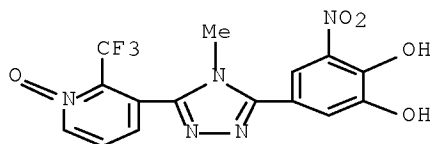
IT 923288-52-2F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyloxadiazolynitrobenzenediols and related compds. as catechol O-methyltransferase inhibitors)

RN 923288-52-2 HCAPLUS

CN 1,2-Benzenediol, 5-[4-methyl-5-[1-oxido-2-(trifluoromethyl)-3-pyridinyl]-4H-1,2,4-triazol-3-yl]-3-nitro- (CA INDEX NAME)



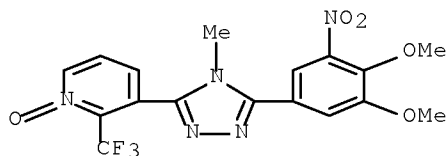
IT 923288-04-4F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridyloxadiazolylnitrobenzenediols and related compds. as catechol O-methyltransferase inhibitors)

RN 923288-04-4 HCAPLUS

CN Pyridine, 3-[5-(3,4-dimethoxy-5-nitrophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-2-(trifluoromethyl)-, 1-oxide (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:768409 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:211047

TITLE: Preparation of 3-amino-1,2,4-triazole derivatives as 11 β -hydroxysteroid dehydrogenase type 1 inhibitors

INVENTOR(S): Itoh, Manabu; Ohta, Masahiko; Miyazaki, Yutaka

PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 218pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006080533	A1	20060803	WO 2006-JP301586	20060131
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2007088895	A1	20070809	WO 2007-JP51611	20070131
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,			

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

JP 2005-24618 A 20050131

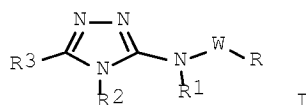
JP 2005-112861 A 20050408

WO 2006-JP301586 A 20060131

JP 2006-207255 A 20060728

OTHER SOURCE(S): MARPAT 145:211047

GI



AB The title compds. I [W = single bond, or RW = R-CO, R-SO₂, R-O-CO, etc.; R = (un)substituted aryl, (un)substituted alicyclic hydrocarbon, (un)substituted heteroaryl (containing 1 to 4 heteroatoms selected from N, O, S), etc.; R₁ = H, (un)substituted aliphatic or alicyclic hydrocarbon; or RW(R₁)N may form an (un)substituted saturated or partially unsatd. heterocyclic ring which may contain 1 to 4 heteroatoms selected from N, O, or S; R₂ = (un)substituted aliphatic or alicyclic hydrocarbon; R₃ = aryl, alicyclic hydrocarbon, heteroaryl (which may contain 1 to 4 heteroatoms selected from O, S), etc.] are prepared Thus, 3-(adamantan-1-yl)-5-(4-fluorophenethylamino)-4-methyl-4H-1,2,4-triazole was prepared in 2 steps from 3-(adamantan-1-yl)-4-methyl-5-mercapto-4H-1,2,4-triazole. Compds. of this invention showed IC₅₀ values of 1.8 nM to 37 nM against 11 β -hydroxysteroid dehydrogenase type 1. Formulations containing the title compds. are given.

IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase type 1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of 3-amino-1,2,4-triazole derivs. as 11 β -hydroxysteroid dehydrogenase type 1 inhibitors)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

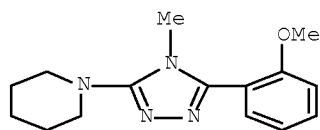
IT 904321-83-1P 904321-90-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

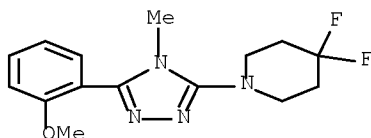
(preparation of 3-amino-1,2,4-triazole derivs. as 11 β -hydroxysteroid dehydrogenase type 1 inhibitors)

RN 904321-83-1 HCAPLUS

CN Piperidine, 1-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 904321-90-0 HCAPLUS
 CN Piperidine, 4,4-difluoro-1-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:269445 HCAPLUS Full-text

DOCUMENT NUMBER: 144:331442

TITLE: Preparation of triazole derivatives as

11 β -hydroxysteroid dehydrogenase inhibitors

INVENTOR(S): Murakami, Takeshi; Kawano, Tomoaki; Shiraki, Ryota; Ishii, Hirofumi; Yoshimura, Seiji; Ohkawa, Takehiko; Hosaka, Mitsuru; Fukudome, Hiroki; Inoki, Yutaka

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

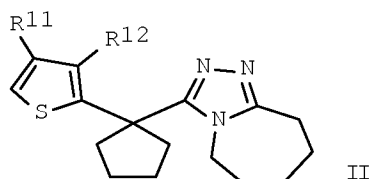
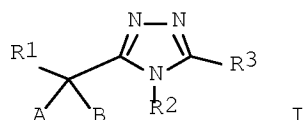
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030805	A1	20060323	WO 2005-JP16896	20050914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2580409	A1	20060323	CA 2005-2580409	20050914
EP 1790641	A1	20070530	EP 2005-783391	20050914
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 101014578	A	20070808	CN 2005-80030457	20050914
IN 2007DN02017	A	20070803	IN 2007-DN2017	20070315
MX 200703161	A	20070516	MX 2007-3161	20070316
US 20070259854	A1	20071108	US 2007-663089	20070316
KR 2007058613	A	20070608	KR 2007-708448	20070413
PRIORITY APPLN. INFO.:			JP 2004-269390	A 20040916

OTHER SOURCE(S):
GI

MARPAT 144:331442



AB Title compds. I [R1 = -NR0SO2-alkyl, -NR0-(un)substituted alkyl, -XR4, etc.; R4 = (un)substituted aryl, cycloalkyl, heterocycle; X = -O-, -CO-, -S-, etc.; R0 = H, alkyl; R2 = -R7; R3 = -R7, -OR7, -NHR7, etc.; R7 = (un)substituted alkyl, alkenyl, alkynyl, etc.; A, B = halo, -OH, -NH2, etc.] were prepared For example, reaction of 1-(3-chloro-4-methyl-2-thienyl)cyclopentanecarbohydrazide, e.g., prepared from Me 3-chloro-4-methylthiophene-2-carboxylate in 5 steps, with 7-methoxy-3,4,5,6-tetrahydro-2H-azepine afforded compound II [R11 = methyl; R12 = Cl]. In 11 β -HSD1 (11 β -hydroxysteroid dehydrogenase type 1) inhibition assays, the IC50 value of compound II [R11, R12 = H] was 0.013 μ M. Compds. I are claimed useful for the treatment of diabetes and insulin resistance.

IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase type 1
56941-20-9, 11 β -Hydroxysteroid dehydrogenase type 2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of triazole derivs. as 11 β -hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 56941-20-9 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (nicotinamide adenine dinucleotide) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 880164-35-2P

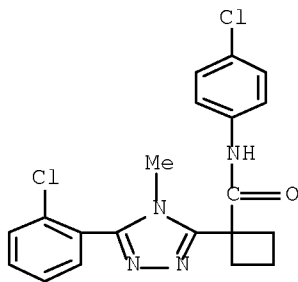
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of triazole derivs. as 11 β -hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

RN 880164-35-2 HCAPLUS

CN Cyclobutanecarboxamide, N-(4-chlorophenyl)-1-[5-(2-chlorophenyl)-4-methyl-

4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



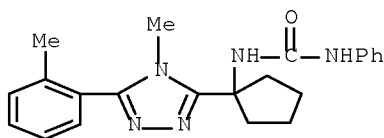
IT 880163-84-8P 880163-85-9P 880163-86-0P
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 880163-99-5P 880164-00-1P 880164-13-6P
 880164-14-7P 880164-15-8P 880164-24-9P
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 880164-32-9P 880164-33-0P 880164-34-1P
 880164-36-3P 880164-37-4P 880164-38-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazole derivs. as 11β -hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

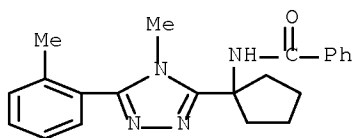
RN 880163-84-8 HCAPLUS

CN Urea, N-[1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]-N'-phenyl- (CA INDEX NAME)



RN 880163-85-9 HCAPLUS

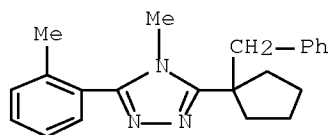
CN Benzamide, N-[1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)



RN 880163-86-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-[1-

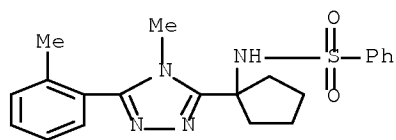
(phenylmethyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

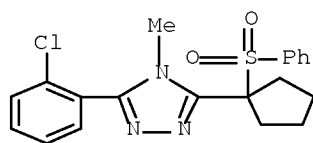
RN 880163-88-2 HCAPLUS

CN Benzenesulfonamide, N-[1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)



RN 880163-90-6 HCAPLUS

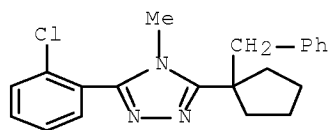
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[1-(phenylsulfonyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

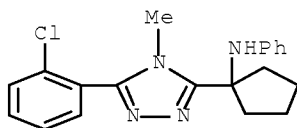
RN 880163-92-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[1-(phenylmethyl)cyclopentyl]- (CA INDEX NAME)



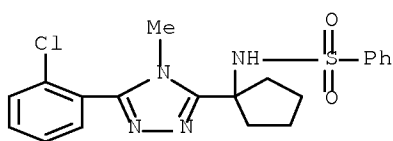
RN 880163-93-9 HCAPLUS

CN Benzenamine, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)



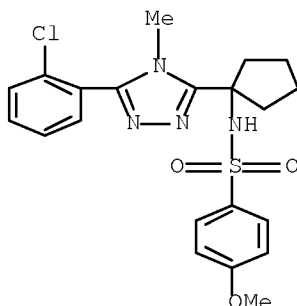
RN 880163-97-3 HCAPLUS

CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)



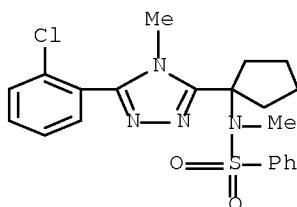
RN 880163-98-4 HCAPLUS

CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]-4-methoxy- (CA INDEX NAME)

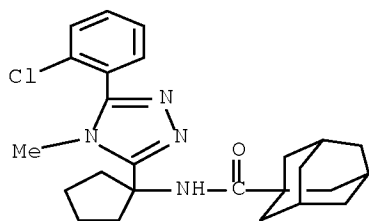


RN 880163-99-5 HCAPLUS

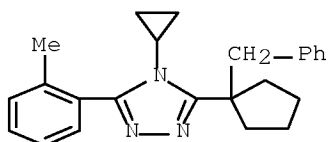
CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]-N-methyl- (CA INDEX NAME)



RN 880164-00-1 HCAPLUS
 CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide,
 N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA
 INDEX NAME)

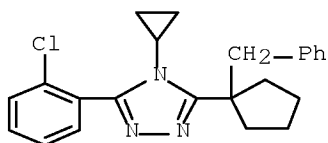


RN 880164-13-6 HCAPLUS
 CN 4H-1,2,4-Triazole, 4-cyclopropyl-3-(2-methylphenyl)-5-[1-(phenylmethyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)



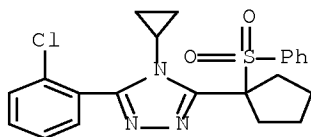
● HCl

RN 880164-14-7 HCAPLUS
 CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-cyclopropyl-5-[1-(phenylmethyl)cyclopentyl]-, hydrochloride (1:1) (CA INDEX NAME)



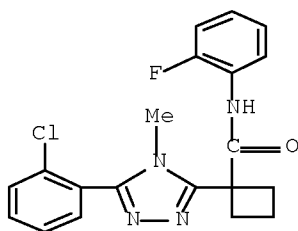
● HCl

RN 880164-15-8 HCAPLUS
 CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-cyclopropyl-5-[1-(phenylsulfonyl)cyclopentyl]- (CA INDEX NAME)



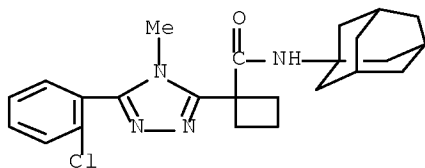
RN 880164-24-9 HCAPLUS

CN Cyclobutanecarboxamide, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-(2-fluorophenyl)- (CA INDEX NAME)



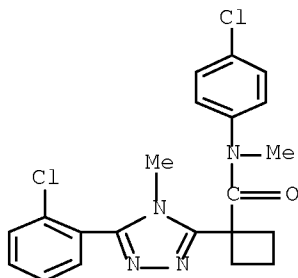
RN 880164-25-0 HCAPLUS

CN Cyclobutanecarboxamide, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



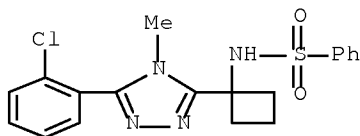
RN 880164-26-1 HCAPLUS

CN Cyclobutanecarboxamide, N-(4-chlorophenyl)-1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-methyl- (CA INDEX NAME)



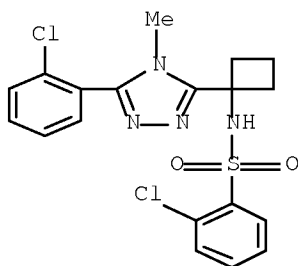
RN 880164-28-3 HCAPLUS

CN Benzenesulfonamide, N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]- (CA INDEX NAME)



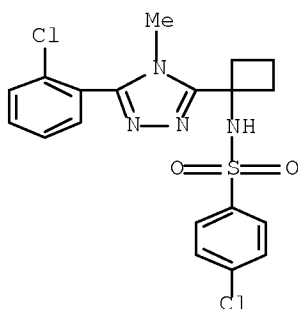
RN 880164-29-4 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]- (CA INDEX NAME)



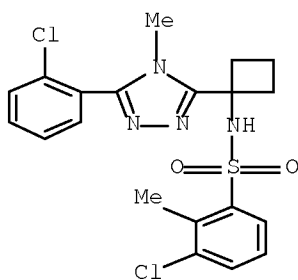
RN 880164-30-7 HCAPLUS

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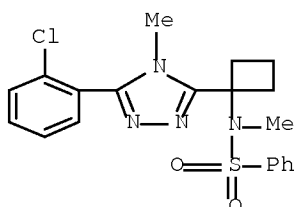
RN 880164-31-8 HCAPLUS

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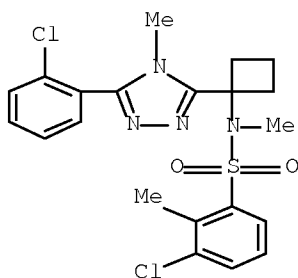
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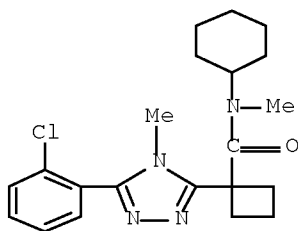
RN 880164-33-0 HCAPLUS

CN Benzenesulfonamide, 3-chloro-N-[1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-N,2-dimethyl- (CA INDEX NAME)



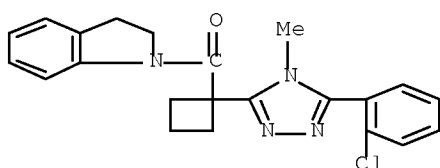
RN 880164-34-1 HCAPLUS

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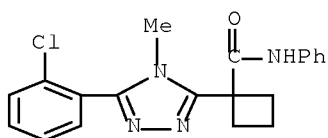
RN 880164-36-3 HCAPLUS

CN Methanone, [1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl](2,3-dihydro-1H-indol-1-yl)- (CA INDEX NAME)



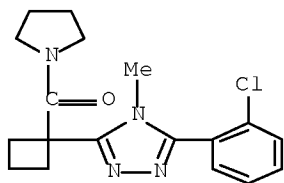
RN 880164-37-4 HCAPLUS

CN Cyclobutanecarboxamide, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-N-phenyl- (CA INDEX NAME)



RN 880164-38-5 HCAPLUS

CN Methanone, [1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-1-pyrrolidinyl- (CA INDEX NAME)



IT 880166-80-3P 880166-81-4P 880166-82-5P

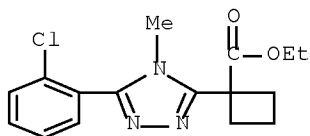
880166-83-6P 880166-92-7P 880166-93-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of triazole derivs. as 11 β -hydroxysteroid dehydrogenase inhibitors for treatment of diabetes and insulin resistance)

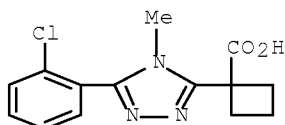
RN 880166-80-3 HCAPLUS

CN Cyclobutanecarboxylic acid, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-, ethyl ester (CA INDEX NAME)



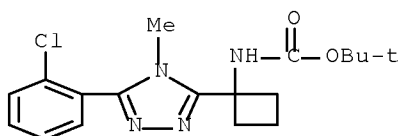
RN 880166-81-4 HCAPLUS

CN Cyclobutanecarboxylic acid, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



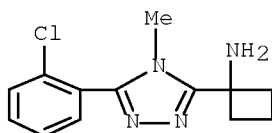
RN 880166-82-5 HCAPLUS

CN Carbamic acid, [1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]cyclobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 880166-83-6 HCAPLUS

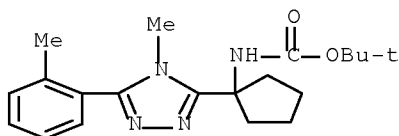
CN Cyclobutanamine, 1-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

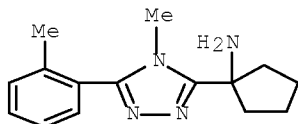
RN 880166-92-7 HCAPLUS

CN Carbamic acid, [1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 880166-93-8 HCAPLUS

CN Cyclopentanamine, 1-[4-methyl-5-(2-methylphenyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1144498 HCAPLUS Full-text

DOCUMENT NUMBER: 143:432021

TITLE: Discovery of 4-heteroaryl bicyclo[2.2.2]octyl triazoles as potent and selective inhibitors of 11 β -HSD1: Novel therapeutic agents for the treatment of metabolic syndrome

AUTHOR(S): Gu, Xin; Dragovic, Jasminka; Koo, Gloria C.; Koprak, Sam L.; LeGrand, Cheryl; Mundt, Steven S.; Shah, Kashmira; Springer, Marty S.; Tan, Eugene Y.; Thieringer, Rolf; Hermanowski-Vosatka, Anne; Zokian, Hratch J.; Balkovec, James M.; Waddell, Sherman T.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(23), 5266-5269

CODEN: BMCLE8; ISSN: 0960-894X

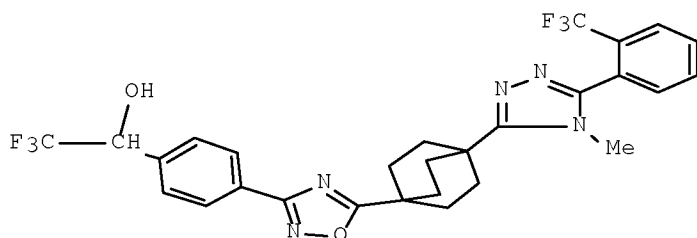
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:432021

GI



I

AB Heteroaryl substituted bicyclo[2.2.2]octyltriazoles are potent and selective 11β -hydroxysteroid dehydrogenase type I inhibitors with excellent pharmacokinetic profiles. The trifluoromethyl carbinol derivative I had superior in vitro activity and excellent in vivo activity.

IT 9041-46-7, 11β -Hydroxysteroid dehydrogenase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (heteroaryl bicyclo[2.2.2]octyltriazoles as potent and selective
 inhibitors of 11β -HSD1)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11β -hydroxy steroid (CA INDEX NAME)

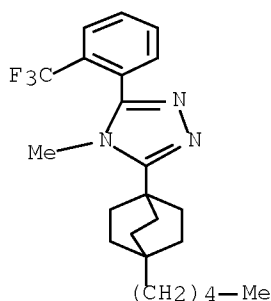
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 719272-85-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (heteroaryl bicyclo[2.2.2]octyltriazoles as potent and selective
 inhibitors of 11β -HSD1)

RN 719272-85-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1126671 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:405913

TITLE: Preparation of diaryltriazoles as inhibitors of

11 β -hydroxysteroid dehydrogenase-1
(11 β -HSD-1)

INVENTOR(S): Aster, Susan D.; Balkovec, James M.; Graham, Donald W.; Gu, Xin; Kevin, Nancy J.; Patel, Gool F.; Ponpipom, Mitree

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2

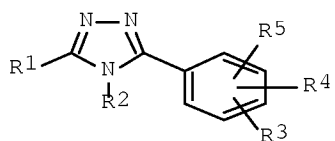
DOCUMENT TYPE: Patent

LANGUAGE: English

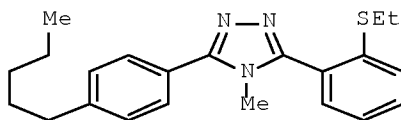
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097759	A1	20051020	WO 2005-US9996	20050325
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005230864	A1	20051020	AU 2005-230864	20050325
CA 2560314	A1	20051020	CA 2005-2560314	20050325
EP 1732904	A1	20061220	EP 2005-726137	20050325
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV			
CN 1938286	A	20070328	CN 2005-80010137	20050325
JP 2007530690	T	20071101	JP 2007-506284	20050325
US 20080255216	A1	20081016	US 2006-593010	20060918
IN 2006CN03525	A	20070615	IN 2006-CN3525	20060925
PRIORITY APPLN. INFO.:			US 2004-557344P	P 20040329
			WO 2005-US9996	W 20050325
OTHER SOURCE(S):		CASREACT 143:405913; MARPAT 143:405913		
GI				



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II

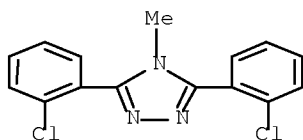
AB The title compds. I [R1 = (un)substituted (hetero)aryl; R2 = alkyl, alkenyl, (CH2)*n*cycloalkyl; *n* = 0-2; R3-R5 = H, CHO, alkyl, etc.] which are selective inhibitors of the 11 β -hydroxysteroid dehydrogenase Type 1 enzyme (11 β -HSD-1) useful for the treatment of diabetes, hyperglycemia, obesity, insulin resistance, atherosclerosis, dyslipidemia, hyperlipidemia, hypertension, and metabolic syndrome, were prepared and formulated. E.g., a multi-step

synthesis of II, starting from 2-(ethylthio)benzoic acid, was given. The compds. I generally have an inhibition constant IC₅₀ of less than about 500 nM, and preferably less than about 100 nM, against 11 β -HSD-1.

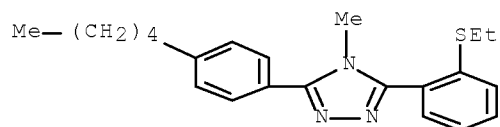
IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase-1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of diaryltriazoles as inhibitors of 11 β -hydroxysteroid
 dehydrogenase-1 (11 β -HSD-1))
 RN 9041-46-7 HCAPLUS
 CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 80590-20-1P 867290-16-2P 867290-17-3P
 867290-18-4P 867290-19-5P 867290-20-8P
 867290-21-9P 867290-22-0P 867290-23-1P
 867290-24-2P 867290-25-3P 867290-26-4P
 867290-27-5P 867290-28-6P 867290-29-7P
 867290-30-0P 867290-31-1P 867290-32-2P
 867290-33-3P 867290-34-4P 867290-35-5P
 867290-36-6P 867290-37-7P 867290-38-8P
 867290-39-9P 867290-41-3P 867290-42-4P
 867290-43-5P 867290-44-6P 867290-45-7P
 867290-46-8P 867290-48-0P 867290-49-1P
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 867290-58-2P 867290-59-3P 867290-62-8P
 867290-63-9P 867290-64-0P 867290-65-1P
 867290-66-2P 867290-67-3P 867290-68-4P
 867290-69-5P 867290-70-8P 867290-72-0P
 867290-75-3P 867290-79-7P 867290-80-0P
 867290-81-1P 867290-82-2P 867290-83-3P
 867290-84-4P 867290-85-5P 867290-86-6P
 867290-87-7P 867290-88-8P 867290-89-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of diaryltriazoles as inhibitors of
 11 β -hydroxysteroid dehydrogenase-1 (11 β -HSD-1))
 RN 80590-20-1 HCAPLUS
 CN 4H-1,2,4-Triazole, 3,5-bis(2-chlorophenyl)-4-methyl- (CA INDEX NAME)

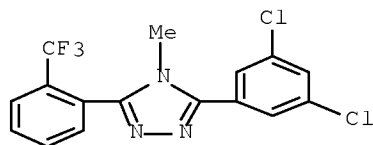


RN 867290-16-2 HCAPLUS
 CN 4H-1,2,4-Triazole, 3-[2-(ethylthio)phenyl]-4-methyl-5-(4-pentylphenyl)-
 (CA INDEX NAME)



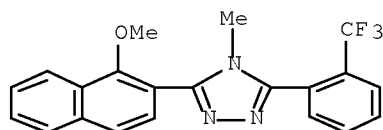
RN 867290-17-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



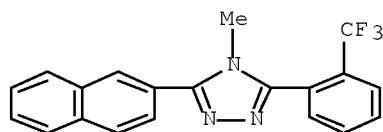
RN 867290-18-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



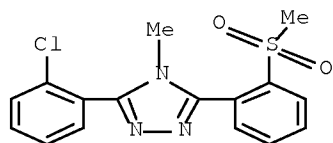
RN 867290-19-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

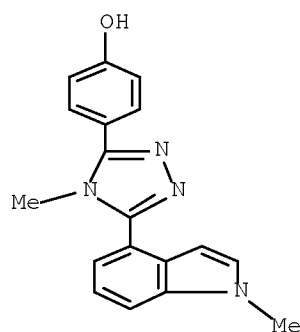


RN 867290-20-8 HCAPLUS

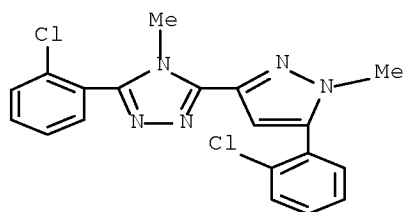
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



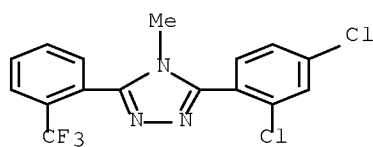
RN 867290-21-9 HCAPLUS

CN Phenol, 4-[4-methyl-5-(1-methyl-1H-indol-4-yl)-4H-1,2,4-triazol-3-yl]-
(CA INDEX NAME)

RN 867290-22-0 HCAPLUS

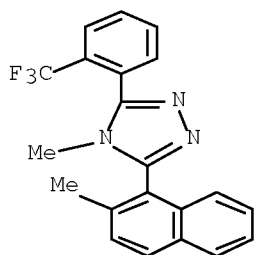
CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[5-(2-chlorophenyl)-1-methyl-1H-
pyrazol-3-yl]-4-methyl- (CA INDEX NAME)

RN 867290-23-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-[2-
(trifluoromethyl)phenyl]- (CA INDEX NAME)

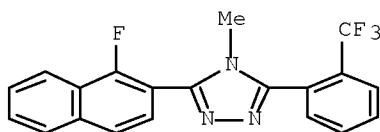
RN 867290-24-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methyl-1-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



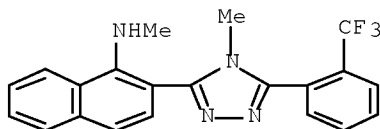
RN 867290-25-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(1-fluoro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



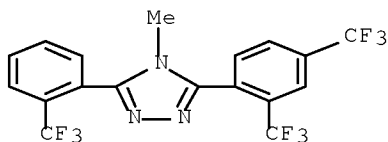
RN 867290-26-4 HCAPLUS

CN 1-Naphthalenamine, N-methyl-2-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



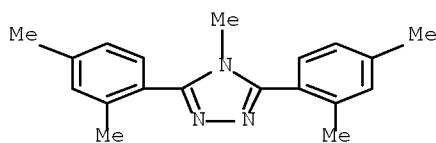
RN 867290-27-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[2,4-bis(trifluoromethyl)phenyl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



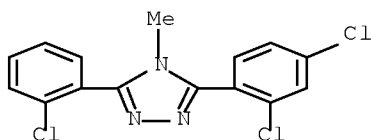
RN 867290-28-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(2,4-dimethylphenyl)-4-methyl- (CA INDEX NAME)



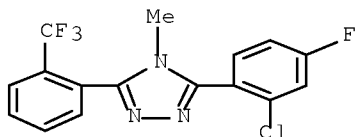
RN 867290-29-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(2,4-dichlorophenyl)-4-methyl-
(CA INDEX NAME)



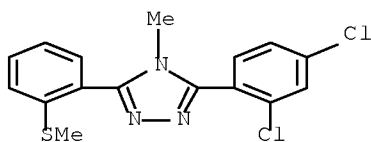
RN 867290-30-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chloro-4-fluorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



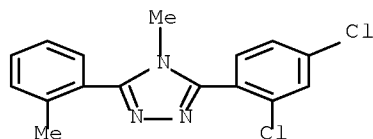
RN 867290-31-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-[2-(methylthio)phenyl]- (CA INDEX NAME)



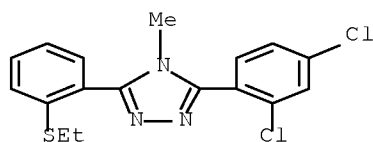
RN 867290-32-2 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-4-methyl-5-(2-methylphenyl)-
(CA INDEX NAME)



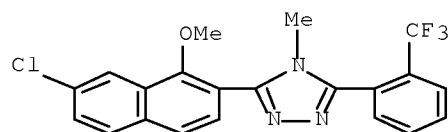
RN 867290-33-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-5-[2-(ethylthio)phenyl]-4-methyl-
(CA INDEX NAME)



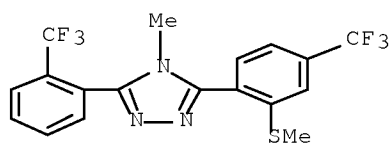
RN 867290-34-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(7-chloro-1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



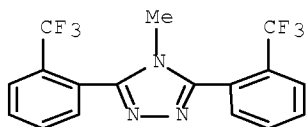
RN 867290-35-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylthio)-4-(trifluoromethyl)phenyl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



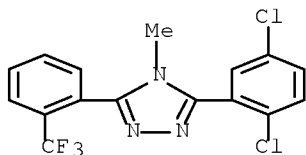
RN 867290-36-6 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3,5-bis[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



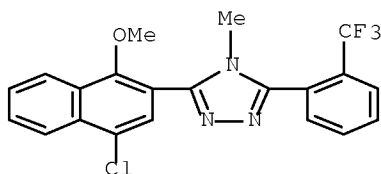
RN 867290-37-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,5-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



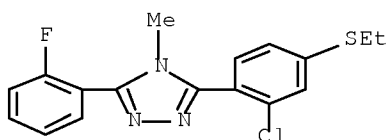
RN 867290-38-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-chloro-1-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



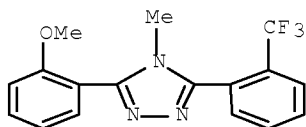
RN 867290-39-9 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[2-chloro-4-(ethylthio)phenyl]-5-(2-fluorophenyl)-4-methyl- (CA INDEX NAME)



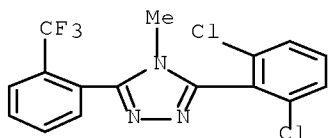
RN 867290-41-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



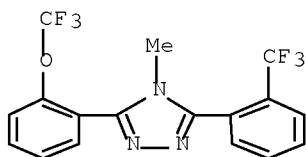
RN 867290-42-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,6-dichlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



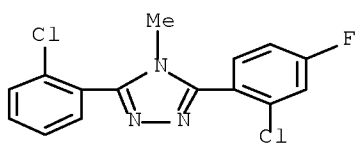
RN 867290-43-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(trifluoromethoxy)phenyl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



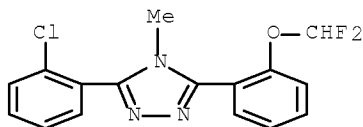
RN 867290-44-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chloro-4-fluorophenyl)-5-(2-chlorophenyl)-4-methyl- (CA INDEX NAME)



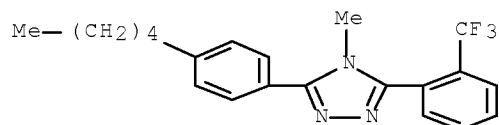
RN 867290-45-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[2-(difluoromethoxy)phenyl]-4-methyl- (CA INDEX NAME)



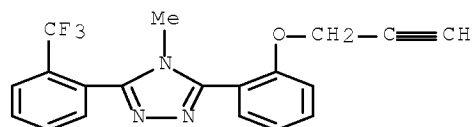
RN 867290-46-8 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylphenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



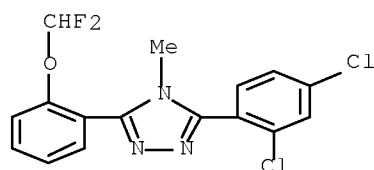
RN 867290-48-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(2-propyn-1-yloxy)phenyl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



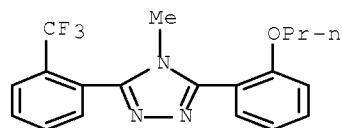
RN 867290-49-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dichlorophenyl)-5-[2-(difluoromethoxy)phenyl]-4-methyl- (CA INDEX NAME)



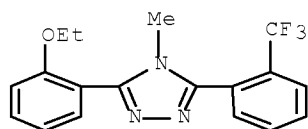
RN 867290-52-6 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-propoxyphenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



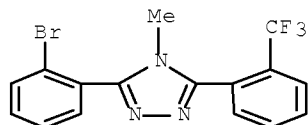
RN 867290-53-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-ethoxyphenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



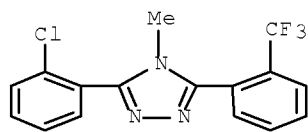
RN 867290-54-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



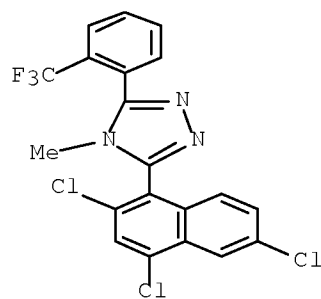
RN 867290-55-9 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



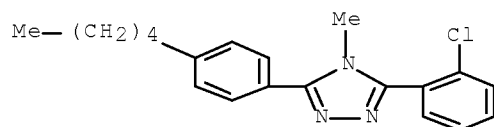
RN 867290-56-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2,4,6-trichloro-1-naphthalenyl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



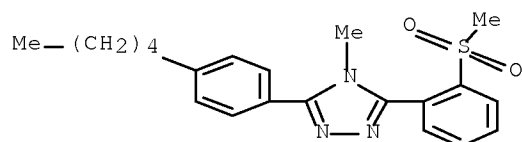
RN 867290-57-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-pentylphenyl)- (CA INDEX NAME)



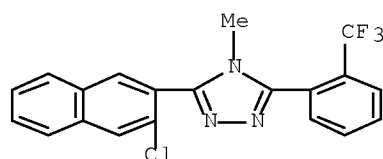
RN 867290-58-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylsulfonyl)phenyl]-5-(4-pentylphenyl)- (CA INDEX NAME)



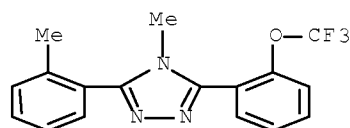
RN 867290-59-3 HCAPLUS

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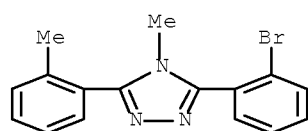
RN 867290-62-8 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-[2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

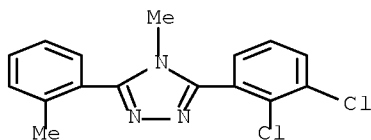


RN 867290-63-9 HCAPLUS

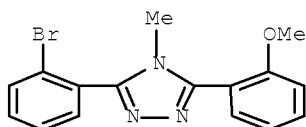
CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-(2-methylphenyl)- (CA INDEX NAME)



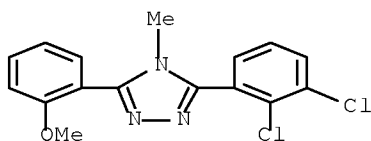
RN 867290-64-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,3-dichlorophenyl)-4-methyl-5-(2-methylphenyl)-
(CA INDEX NAME)

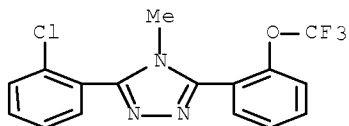
RN 867290-65-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-5-(2-methoxyphenyl)-4-methyl- (CA
INDEX NAME)

RN 867290-66-2 HCAPLUS

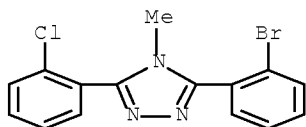
CN 4H-1,2,4-Triazole, 3-(2,3-dichlorophenyl)-5-(2-methoxyphenyl)-4-methyl-
(CA INDEX NAME)

RN 867290-67-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[2-(trifluoromethoxy)phenyl]-
(CA INDEX NAME)

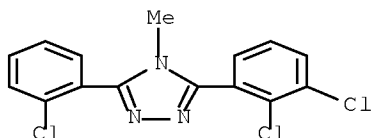
RN 867290-68-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-5-(2-chlorophenyl)-4-methyl- (CA
INDEX NAME)



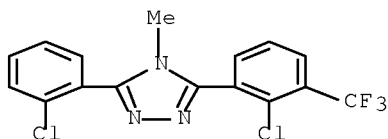
RN 867290-69-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(2,3-dichlorophenyl)-4-methyl-
(CA INDEX NAME)



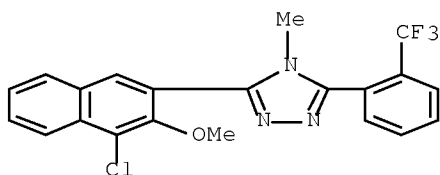
RN 867290-70-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[2-chloro-3-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



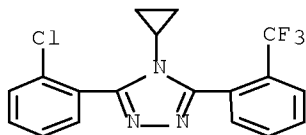
RN 867290-72-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-chloro-3-methoxy-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



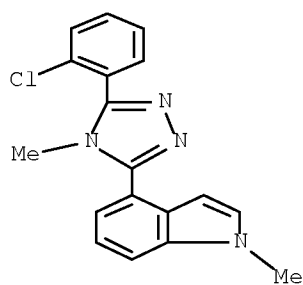
RN 867290-75-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-cyclopropyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



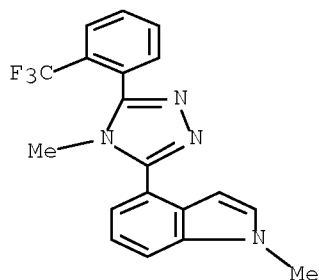
RN 867290-79-7 HCAPLUS

CN 1H-Indole, 4-[5-(2-chlorophenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl-
(CA INDEX NAME)



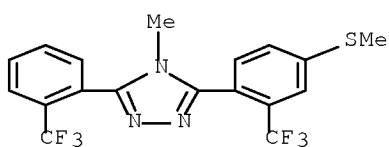
RN 867290-80-0 HCAPLUS

CN 1H-Indole, 1-methyl-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-
triazol-3-yl]- (CA INDEX NAME)

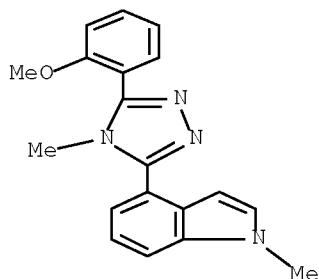


RN 867290-81-1 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylthio)-2-(trifluoromethyl)phenyl]-5-
[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

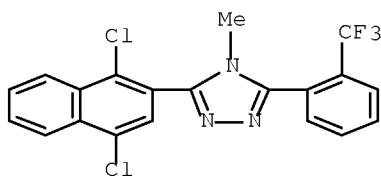


RN 867290-82-2 HCAPLUS

CN 1H-Indole, 4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl-
(CA INDEX NAME)

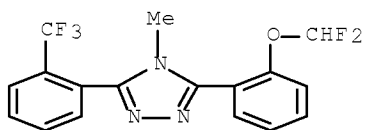
RN 867290-83-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(1,4-dichloro-2-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



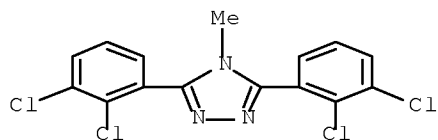
RN 867290-84-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[2-(difluoromethoxy)phenyl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



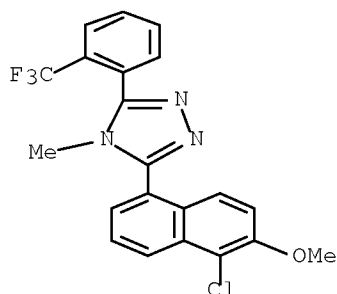
RN 867290-85-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(2,3-dichlorophenyl)-4-methyl- (CA INDEX NAME)



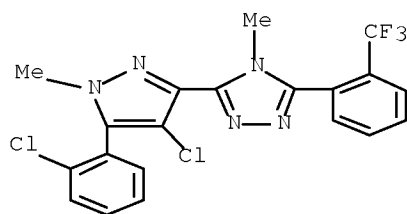
RN 867290-86-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(5-chloro-6-methoxy-1-naphthalenyl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



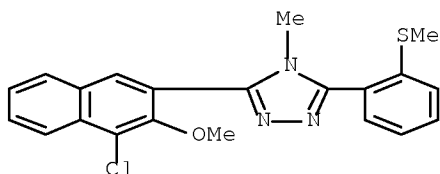
RN 867290-87-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-chloro-5-(2-chlorophenyl)-1-methyl-1H-pyrazol-3-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



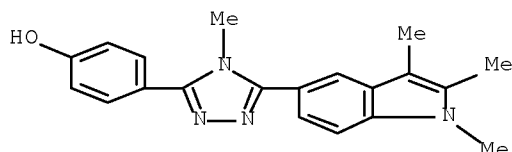
RN 867290-88-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-chloro-3-methoxy-2-naphthalenyl)-4-methyl-5-[2-(methylthio)phenyl]- (CA INDEX NAME)



RN 867290-89-9 HCAPLUS

CN Phenol, 4-[4-methyl-5-(1,2,3-trimethyl-1H-indol-5-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:921443 HCAPLUS Full-text

DOCUMENT NUMBER: 143:367254

TITLE: Adamantyl triazoles as selective inhibitors of 11 β -hydroxysteroid dehydrogenase type 1

AUTHOR(S): Olson, Steven; Aster, Susan D.; Brown, Kai; Carbin, Linda; Graham, Donald W.; Hermanowski-Vosatka, Anne; LeGrand, Cheryl B.; Mundt, Steven S.; Robbins, Michael A.; Schaeffer, James M.; Slossberg, Llnon H.; Szymonifka, Michael J.; Thieringer, Rolf; Wright, Samuel D.; Balkovec, James M.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(19), 4359-4362

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:367254

AB Adamantyl triazoles were identified as selective inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1) and found to be active in both in vitro and in vivo pharmacodynamic models. The synthesis and structure-activity relationships of these inhibitors are presented.

IT 9041-46-7

RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of adamantyl triazoles as selective inhibitors of 11 β -hydroxysteroid dehydrogenase type 1)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

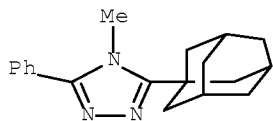
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 581788-60-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of adamantyl triazoles as selective inhibitors of 11 β -hydroxysteroid dehydrogenase type 1)

RN 581788-60-5 HCAPLUS

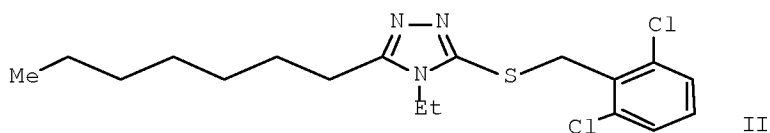
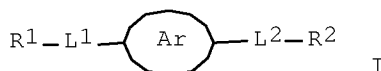
CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-tricyclo[3.3.1.1.3,7]dec-1-yl- (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:569372 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:97369
 TITLE: Preparation of triazoles and related compounds as
 11 β -hydroxysteroid dehydrogenase 1 inhibitors
 INVENTOR(S): Yamashita, Toshio; Noda, Masakuni; Kawamoto,
 Tomohiro; Irie, Kazuyuki
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 65 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005170939	A	20050630	JP 2004-337016	20041122
PRIORITY APPLN. INFO.:			JP 2003-391476	A 20031120
OTHER SOURCE(S):	MARPAT 143:97369			
GI				



AB Title compds. I [R1 = H, (un)substituted cyclic group; R2 = (un)substituted cyclic group; Ar = optionally furthermore substituted 5-, 6-membered heterocyclic ring; L1, L2 = bond, etc.] were prepared For example, benzylation of 4-ethyl-5-heptyl-2,4-dihydro-3H-1,2,4-triazol-3- thione, e.g., prepared from octanoyl hydrazide in 2 steps, with 2,6-dichlorobenzyl chloride afforded compound II. In 11 β HSD1 (11 β -hydroxysteroid dehydrogenase type 1) inhibition assays, the IC50 value of compound II was 39 nM. Of note, compds. I are useful for the treatment of diabetes. Formulations are given.

IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase 1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)

(inhibitors of; preparation of triazoles and related compds. as
11 β -hydroxysteroid dehydrogenase 1 inhibitors)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

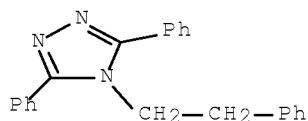
IT 856701-33-2P 856701-34-3P 856701-36-5P
856701-38-7P 856701-41-2P 856701-46-7P
856701-49-0P 856701-57-0P 856701-58-1P
856701-59-2P 856701-60-5P 856701-61-6P
856701-63-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of triazoles and related compds. as 11 β -hydroxysteroid
dehydrogenase 1 inhibitors)

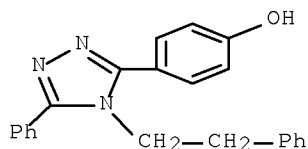
RN 856701-33-2 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-diphenyl-4-(2-phenylethyl)- (CA INDEX NAME)



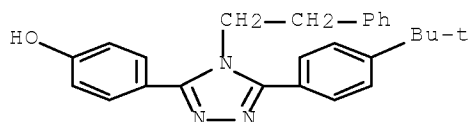
RN 856701-34-3 HCAPLUS

CN Phenol, 4-[5-phenyl-4-(2-phenylethyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



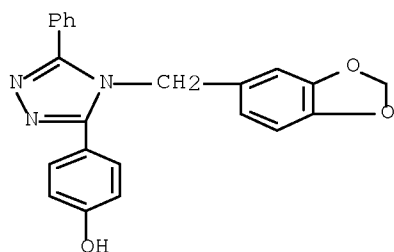
RN 856701-36-5 HCAPLUS

CN Phenol, 4-[5-[4-(1,1-dimethylethyl)phenyl]-4-(2-phenylethyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



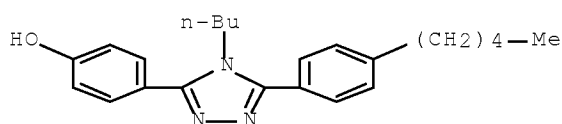
RN 856701-38-7 HCAPLUS

CN Phenol, 4-[4-(1,3-benzodioxol-5-ylmethyl)-5-phenyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



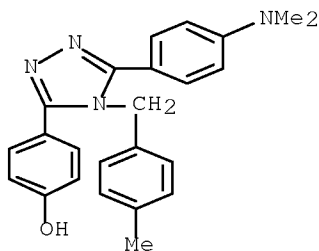
RN 856701-41-2 HCAPLUS

CN Phenol, 4-[4-butyl-5-(4-pentylphenyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



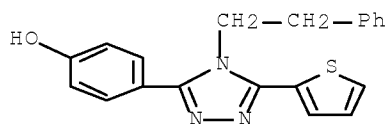
RN 856701-46-7 HCAPLUS

CN Phenol, 4-[5-[4-(dimethylamino)phenyl]-4-[(4-methylphenyl)methyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



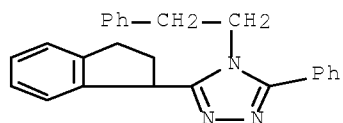
RN 856701-49-0 HCAPLUS

CN Phenol, 4-[4-(2-phenylethyl)-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



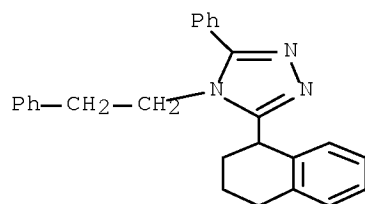
RN 856701-57-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,3-dihydro-1H-inden-1-yl)-5-phenyl-4-(2-phenylethyl)- (CA INDEX NAME)



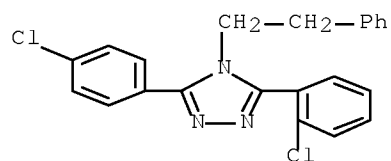
RN 856701-58-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-phenyl-4-(2-phenylethyl)-5-(1,2,3,4-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)



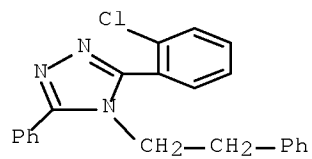
RN 856701-59-2 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(4-chlorophenyl)-4-(2-phenylethyl)- (CA INDEX NAME)



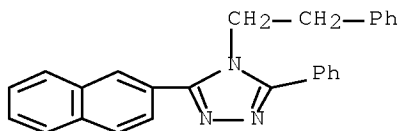
RN 856701-60-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-phenyl-4-(2-phenylethyl)- (CA INDEX NAME)

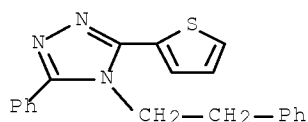


RN 856701-61-6 HCAPLUS

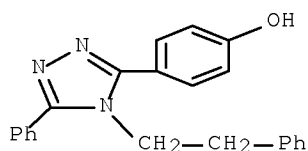
CN 4H-1,2,4-Triazole, 3-(2-naphthalenyl)-5-phenyl-4-(2-phenylethyl)- (CA INDEX NAME)



RN 856701-63-8 HCAPLUS
 CN 4H-1,2,4-Triazole, 3-phenyl-4-(2-phenylethyl)-5-(2-thienyl)- (CA INDEX NAME)



IT 856701-34-3DF, resin bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of triazoles and related compds. as 11 β -hydroxysteroid dehydrogenase 1 inhibitors)
 RN 856701-34-3 HCAPLUS
 CN Phenol, 4-[5-phenyl-4-(2-phenylethyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



L46 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:1124587 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 142:69188
 TITLE: Combination therapy for the treatment of diabetes
 INVENTOR(S): Erondy, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.;
 Van Der Ploeg, Leonardus H. T.; Kanatani, Akio
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
 SOURCE: PCT Int. Appl., 109 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110375	A2	20041223	WO 2004-US17291	20040602
WO 2004110375	A3	20050512		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1635832 A2 20060322 EP 2004-753999 20040602

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

US 20070099884 A1 20070503 US 2005-559206 20051202

PRIORITY APPLN. INFO.: US 2003-476388P P 20030606

WO 2004-US17291 W 20040602

OTHER SOURCE(S): MARPAT 142:69188

AB The present invention relates to compns. comprising an anti-obesity agent and an anti-diabetic agent useful for the treatment of diabetes, diabetes associated with obesity and diabetes-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.

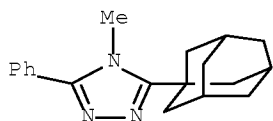
IT 581788-60-5 581788-80-9 581791-51-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)

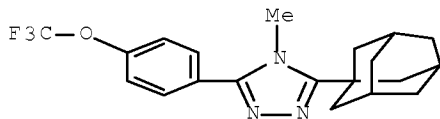
RN 581788-60-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



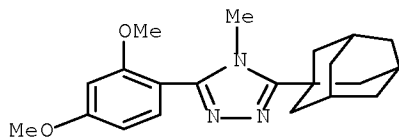
RN 581788-80-9 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2,4-dimethoxyphenyl)-4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



RN 581791-51-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dimethoxyphenyl)-4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



IT 9041-46-7, 11 β Hydroxysteroid dehydrogenase 1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors; combination therapy of diabetes and diabetes-related
 disorders using antiobesity agent and antidiabetic agent and other
 agents)
 RN 9041-46-7 HCAPLUS
 CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878302 HCAPLUS Full-text

DOCUMENT NUMBER: 141:360694

TITLE: Combination therapy using an 11 β -hydroxysteroid
 dehydrogenase type 1 inhibitor and an antihypertensive
 agent for the treatment of metabolic syndrome and
 related diseases and disorders

INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 297 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089416	A2	20041021	WO 2004-DK254	20040406
WO 2004089416	A3	20050303		
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RW:	BW, GM, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1615666	A2	20060118	EP 2004-725887	20040406
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JP 2006522750	T	20061005	JP 2006-504357	20040406

US 10/593010

EP 1782859	A2	20070509	EP 2007-102700	20040406
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IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
EP 1785424	A2	20070516	EP 2007-102701	20040406
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EP 1787982	A2	20070523	EP 2007-102177	20040406
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EP 1854487	A2	20071114	EP 2007-114939	20040406
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PRIORITY APPLN. INFO.:			DK 2003-565	A 20030411
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			DK 2003-1910	A 20031222
			DK 2004-9	A 20040106
			US 2004-537099P	P 20040116
			DK 2003-568	A 20030411
			US 2003-467443P	P 20030502
			DK 2003-778	A 20030522
			US 2003-475195P	P 20030602
			EP 2004-725884	A3 20040406
			EP 2004-725887	A3 20040406
			EP 2004-725888	A3 20040406
			EP 2004-725889	A3 20040406
			EP 2004-725890	A3 20040406
			WO 2004-DK254	W 20040406

OTHER SOURCE(S): MARPAT 141:360694

AB The invention discloses combination therapy comprising the administration of an 11β -hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent useful for treating, preventing and reducing the risk of developing insulin resistance, dyslipidemia, obesity, hypertension and other related diseases and disorders.

IT 9041-46-7, 11β -Hydroxysteroid dehydrogenase type 1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (hydroxysteroid dehydrogenase inhibitor-antihypertensive agent
 combination for treatment of metabolic syndrome and related conditions)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11β -hydroxy steroid (CA INDEX NAME)

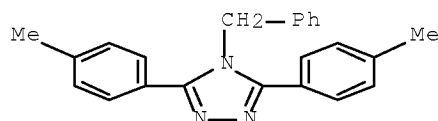
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 313502-55-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (hydroxysteroid dehydrogenase inhibitor-antihypertensive
 agent combination for treatment of metabolic syndrome and related
 conditions)

RN 313502-55-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(4-methylphenyl)-4-(phenylmethyl)- (CA INDEX NAME)



L46 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878301 HCAPLUS Full-text

DOCUMENT NUMBER: 141:360721

TITLE: Combination therapy using an 11β -hydroxysteroid
 dehydrogenase type 1 inhibitor and a glucocorticoid
 receptor agonist to treat cancer and
 inflammation-associated diseases and to minimize the
 side effects associated with glucocorticoid receptor
 agonist therapy

INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 305 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004089415	A2	20041021	WO 2004-DK248	20040406
WO 2004089415	A3	20050310		
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	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

US 10/593010

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG

EP 1615667	A2	20060118	EP 2004-725890	20040406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006522744	T	20061005	JP 2006-504351	20040406
EP 1782859	A2	20070509	EP 2007-102700	20040406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
EP 1785424	A2	20070516	EP 2007-102701	20040406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
EP 1787982	A2	20070523	EP 2007-102177	20040406
EP 1787982	A3	20070530		
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EP 1854487	A2	20071114	EP 2007-114939	20040406
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EP 1862181	A2	20071205	EP 2007-115299	20040406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20060094699	A1	20060504	US 2005-246814	20051007
PRIORITY APPLN. INFO.:				
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			DK 2003-972	A 20030627
			DK 2003-988	A 20030630
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			US 2004-537099P	P 20040116

DK 2003-567	A	20030411
US 2003-467437P	P	20030502
DK 2003-777	A	20030522
US 2003-474421P	P	20030530
EP 2004-725884	A3	20040406
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EP 2004-725889	A3	20040406
EP 2004-725890	A3	20040406
WO 2004-DK248	W	20040406

OTHER SOURCE(S): MARPAT 141:360721

AB The invention discloses combination therapy comprising the administration of an 11β -hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist for treating some forms of cancer, diseases and disorders having inflammation as a component, and to minimize the side effects associated with glucocorticoid receptor agonist therapy.

IT 9041-46-7, 11β -Hydroxysteroid dehydrogenase type 1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist
 combination to treat cancer and inflammation-associated diseases and
 minimize side effects associated with glucocorticoid agonist therapy)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11β -hydroxy steroid (CA INDEX NAME)

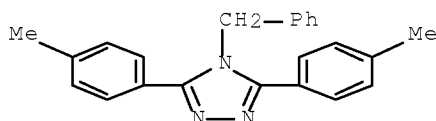
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 313502-55-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (hydroxysteroid dehydrogenase inhibitor-glucocorticoid
 agonist combination to treat cancer and inflammation-associated diseases
 and minimize side effects associated with glucocorticoid agonist therapy)

RN 313502-55-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(4-methylphenyl)-4-(phenylmethyl)- (CA INDEX
 NAME)



L46 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878290 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:366236

TITLE: Preparation and use of fused 1,2,4-triazoles for
 modulating the activity of 11β -hydroxysteroid
 dehydrogenase type 1 (11β HSD1)

INVENTOR(S): Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard;
 Christensen, Inge Thoger; Mogensen, John Patrick;
 Larsen, Annette Rosendal

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

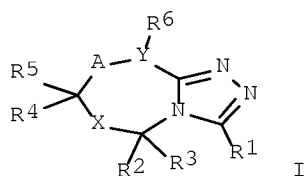
DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

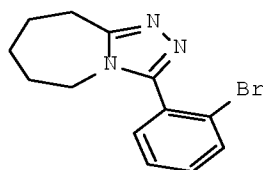
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089380	A2	20041021	WO 2004-DK251	20040406
WO 2004089380	A3	20041223		
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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EP 1785424	A2	20070516	EP 2007-102701	20040406
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EP 1862181	A2	20071205	EP 2007-115299	20040406
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US 20060106008	A1	20060518	US 2005-247847	20051011
US 7358238	B2	20080415		
US 20080153807	A1	20080626	US 2008-38255	20080227
PRIORITY APPLN. INFO.:			DK 2003-571	A 20030411
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EP 2004-725884	A3 20040406
EP 2004-725887	A3 20040406
EP 2004-725890	A3 20040406
WO 2004-DK251	W 20040406
US 2005-247847	A1 20051011

OTHER SOURCE(S): MARPAT 141:366236
GI



I



II

AB The title compds. I [R1 = cycloalkyl, aryl, heteroaryl, etc.; R2, R3 = H, alkyl, aryl, etc.; R4, R5 = H, halo, OH, etc.; R2 and R3 together or R4 and R5 together can form (hetero)cyclcyl; R4 and either R2 or R3 together form (un)substituted (un)saturated bridge containing 1-4 carbon atoms; R6 = H, alkyl, aryl, etc.; R6 and either R4 or R5 together form (un)saturated (hetero)cyclcyl; A = a single, double, triple or aromatic bond; X = a bond, (CR16R17)n, NR10; R10 = H, alkyl, aryl, etc.; R16, R17 = H, oxo, alkyl; X, together with either R2 or R3, is a double bond; Y = CR18, N; R18 = H, alkyl, aryl, etc.], useful for modulating the activity of 11 β -hydroxysteroid dehydrogenase type 1 (11 β HSD1), were prepared and formulated. Thus, reacting 7-chloro-3,4,5,6-tetrahydro-2H-azepine with 2-bromobenzoic acid hydrazide followed by cyclization of the resulting hydrazide afforded II which showed IC50 of 0.23 μ M against 11 β HSD1. The compds. I are modulators and more specifically inhibitors of the activity of 11 β HSD1 and may be useful in the treatment, prevention and/or prophylaxis of a range of medical disorders where a decreased intracellular concentration of active glucocorticoid is desirable.

IT 313502-55-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

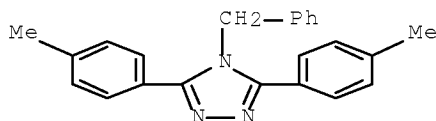
(preparation and use of fused 1,2,4-triazoles for modulating the activity

of

11 β -hydroxysteroid dehydrogenase type 1 (11 β HSD1))

RN 313502-55-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3,5-bis(4-methylphenyl)-4-(phenylmethyl)- (CA INDEX NAME)



IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase type 1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation and use of fused 1,2,4-triazoles for treating and/or
 preventing

adverse effects of glucocorticoid receptor agonist treatment or
 therapy)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:550802 HCAPLUS Full-text

DOCUMENT NUMBER: 141:106490

TITLE: Preparation of
 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole
 derivatives as inhibitors of 11-beta-hydroxysteroid
 dehydrogenase-1

INVENTOR(S): Waddell, Sherman T.; Santorelli, Gina M.; Maletic,
 Milana M.; Leeman, Aaron H.; Gu, Xin; Graham, Donald
 W.; Balkovec, James M.; Aster, Susan D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 76 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040133011	A1	20040708	US 2003-739716	20031218
US 6849636	B2	20050201		
CA 2510540	A1	20040715	CA 2003-2510540	20031216
WO 2004058741	A1	20040715	WO 2003-US40127	20031216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2004058730	A2	20040715	WO 2003-US40128	20031216
WO 2004058730	A3	20040902		
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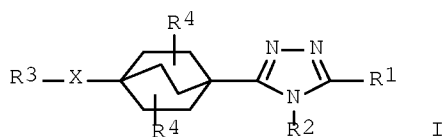
AU 2003297231	A1	20040722	AU 2003-297231	20031216
AU 2003302255	A1	20040722	AU 2003-302255	20031216
EP 1581515	A1	20051005	EP 2003-814074	20031216
EP 1581515	B1	20071010		
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BR 2003017451	A	20051116	BR 2003-17451	20031216
CN 1726206	A	20060125	CN 2003-80106415	20031216
JP 2006513266	T	20060420	JP 2005-509981	20031216
NZ 540465	A	20061222	NZ 2003-540465	20031216
AT 375336	T	20071015	AT 2003-814074	20031216
ES 2293099	T3	20080316	ES 2003-814074	20031216
US 20050154038	A1	20050714	US 2004-11889	20041214
ZA 2005004441	A	20060830	ZA 2005-4441	20050531
IN 2005DN02392	A	20070119	IN 2005-DN2392	20050606
MX 2005006726	A	20050908	MX 2005-6726	20050617

PRIORITY APPLN. INFO.:

US 2002-435074P	P	20021220
US 2003-458592P	P	20030328
US 2003-503410P	P	20030916
WO 2003-US40127	W	20031216
WO 2003-US40128	W	20031216
US 2003-739716	A3	20031218

OTHER SOURCE(S): MARPAT 141:106490

GI



AB Ther title compds. (I) [X = O, S(O)_p, NR₆, CONR₆, NR₆CO, NR₆CONR₆, NR₆SO₂, SO₂NR₆, NR₆CO₂, O₂CNR₆, CO₂, O₂C [wherein p = 0-2; R₆ = C1-8 alkyl, (CH₂)_n-aryl, (CH₂)_n-heteroaryl, (CH₂)_n-C3-7 cycloalkyl; wherein alkyl, aryl, heteroaryl, and cycloalkyl are optionally substituted; or two R₆ groups together with the atom to which they are attached form a 5- to 8-membered mono or bicyclic ring system optionally containing an addnl. heteroatom selected from O, S, and NC1-4 alkyl]; R₁ = arylcarbonyl, (CH₂)_n-aryl, (CH₂)_n-heteroaryl, in which aryl and heteroaryl are optionally substituted (wherein n = 0-2); R₂ = H, C1-8 alkyl, C2-6 alkenyl, and (CH₂)_n-C3-6 cycloalkyl, in which alkyl, alkenyl, and cycloalkyl are optionally substituted; R₄ = H, halogen, HO, oxo, C1-3 alkyl, C1-3 alkoxy; R₃ = H, C1-10 alkyl, C2-10 alkenyl, (CH₂)_n-C3-6 cycloalkyl, (CH₂)_n-aryl, and (CH₂)_n-heteroaryl, (CH₂)_n-heterocyclyl, in which alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, and heterocyclyl are optionally unsubstituted] are prepared These compds. are selective inhibitors of the 11β-hydroxysteroid dehydrogenase-1 (no data). They are useful for the

treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, metabolic syndrome X, lipid disorder, atherosclerosis, and other symptoms associated with NIDDM. Thus, chlorination of N-methyl-4-pentylbicyclo[2.2.2]octane-1-carboxamide by oxalyl chloride in CH₂Cl₂ at room temperature for 2 h gave N-methyl-4-pentylbicyclo[2.2.2]octane-1-carboximidoyl chloride which was condensed with 5-[4-(benzyloxy)-2-methoxyphenyl]-2H-tetrazole in toluene at 120° for 9 h under refluxing to give 3-[4-(benzyloxy)-2-methoxyphenyl]-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazole (II). Hydrogenolysis of II over 10% Pd-C in MeOH for 19 h gave 3-methoxy-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]phenol.

IT 9041-46-7

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(11- β -hydroxysteroid dehydrogenase-1; preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 719274-82-5P 719274-83-6P 719274-84-7P

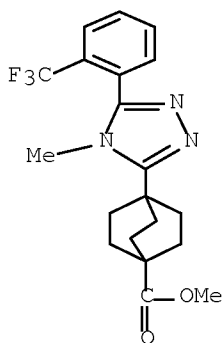
719274-90-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

RN 719274-82-5 HCAPLUS

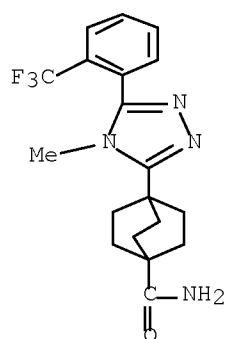
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

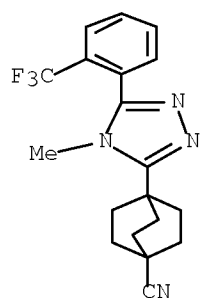
RN 719274-83-6 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carboxamide, 4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



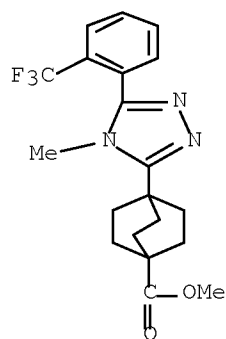
RN 719274-84-7 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carbonitrile,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA
INDEX NAME)



RN 719274-90-5 HCAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid,
4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]-, methyl
ester (CA INDEX NAME)

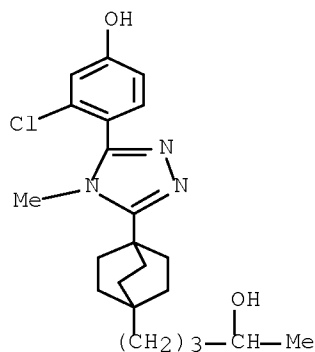


IT 719272-73-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

RN 719272-73-8 HCAPLUS

CN Bicyclo[2.2.2]octane-1-butanol, 4-[5-(2-chloro-4-hydroxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- α -methyl- (CA INDEX NAME)



IT 719272-69-2P 719272-70-5P 719272-71-6P
 719272-72-7P 719272-74-9P 719272-77-2P
 719272-78-3P 719272-79-4P 719272-83-0P
 719272-84-1P 719272-85-2P 719272-86-3P
 719272-87-4P 719272-88-5P 719272-89-6P
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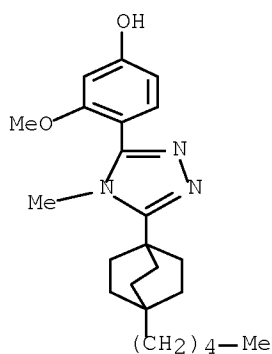
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)

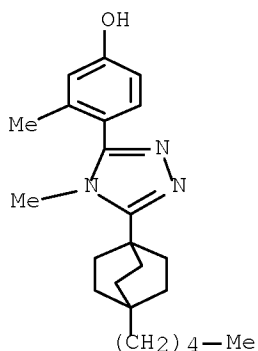
RN 719272-69-2 HCAPLUS

CN Phenol, 3-methoxy-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



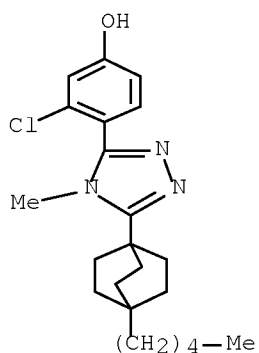
RN 719272-70-5 HCAPLUS

CN Phenol, 3-methyl-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



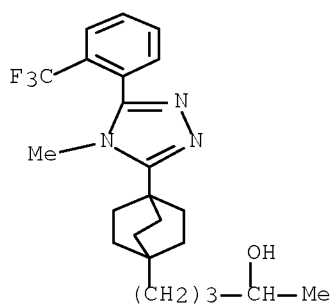
RN 719272-71-6 HCAPLUS

CN Phenol, 3-chloro-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



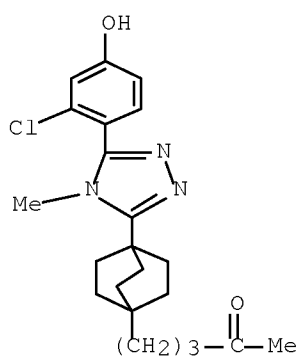
RN 719272-72-7 HCAPLUS

CN Bicyclo[2.2.2]octane-1-butanol, α -methyl-4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



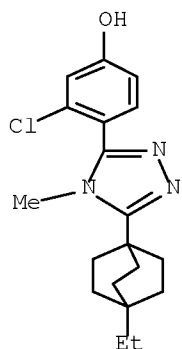
RN 719272-74-9 HCAPLUS

CN 2-Pentanone, 5-[4-[5-(2-chloro-4-hydroxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



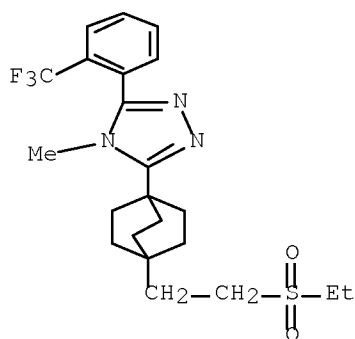
RN 719272-77-2 HCAPLUS

CN Phenol, 3-chloro-4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



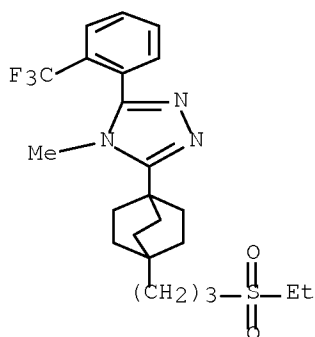
RN 719272-78-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

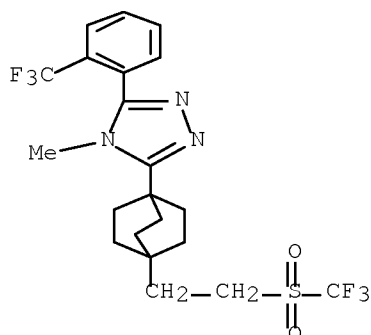


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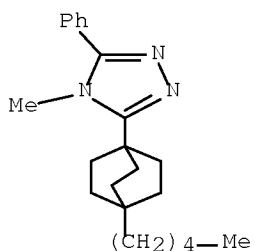
CN 4H-1,2,4-Triazole, 3-[4-[3-(ethylsulfonyl)propyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



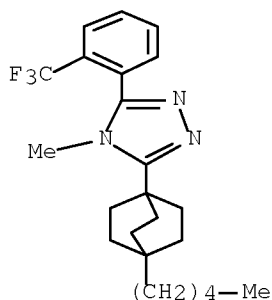
RN 719272-83-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(trifluoromethyl)phenyl]-5-[4-[2-
[(trifluoromethyl)sulfonyl]ethyl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)

RN 719272-84-1 HCAPLUS

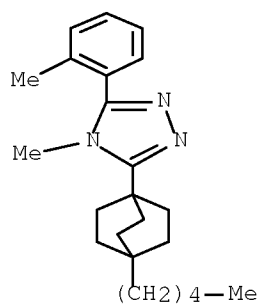
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl-
(CA INDEX NAME)

RN 719272-85-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-
(trifluoromethyl)phenyl]- (CA INDEX NAME)

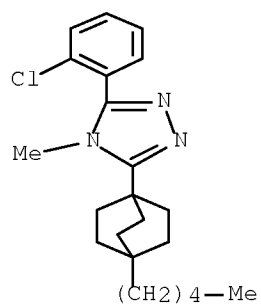
RN 719272-86-3 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-(4-
pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



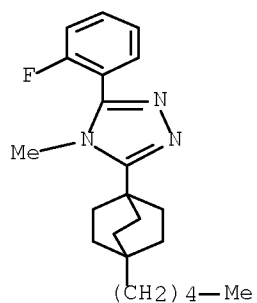
RN 719272-87-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



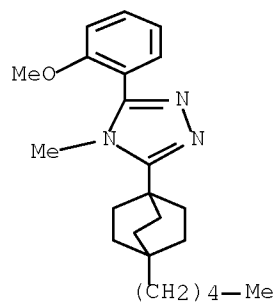
RN 719272-88-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-fluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



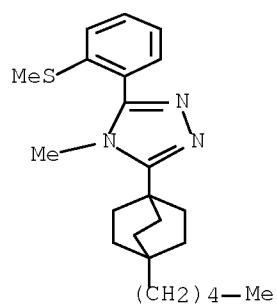
RN 719272-89-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



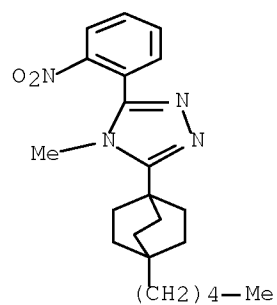
RN 719272-90-9 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylthio)phenyl]-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



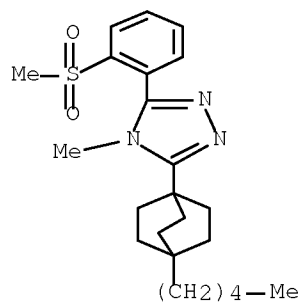
RN 719272-91-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-nitrophenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



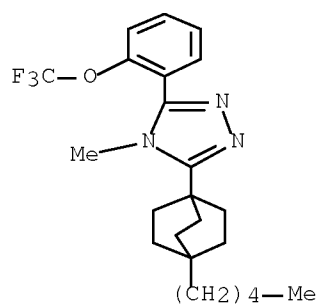
RN 719272-92-1 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylsulfonyl)phenyl]-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



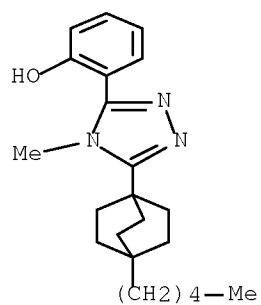
RN 719272-93-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



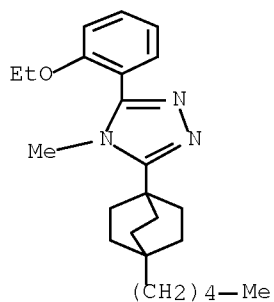
RN 719272-94-3 HCAPLUS

CN Phenol, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



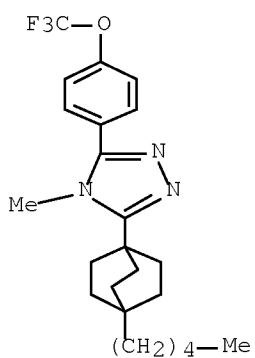
RN 719272-95-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-ethoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



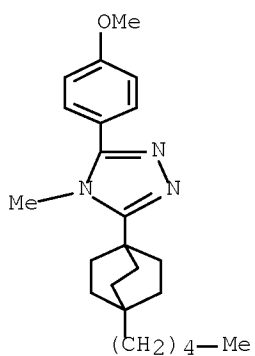
RN 719272-96-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



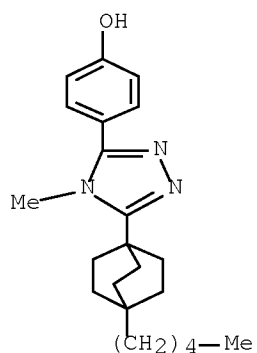
RN 719272-97-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



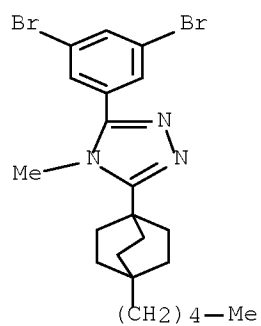
RN 719272-98-7 HCAPLUS

CN Phenol, 4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



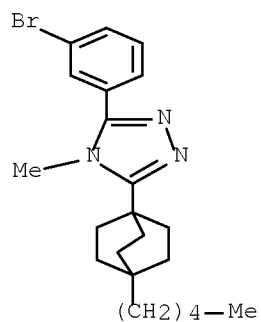
RN 719272-99-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3,5-dibromophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



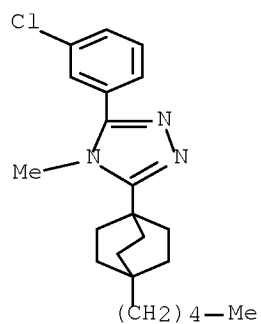
RN 719273-00-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3-bromophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



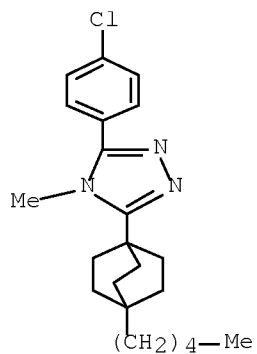
RN 719273-01-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3-chlorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



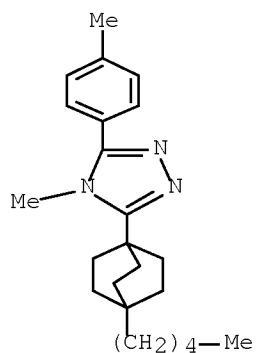
RN 719273-02-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-chlorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



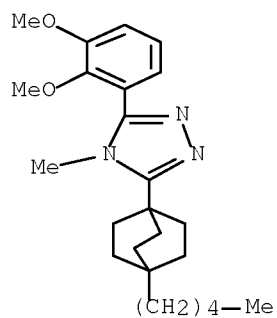
RN 719273-03-7 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-methylphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



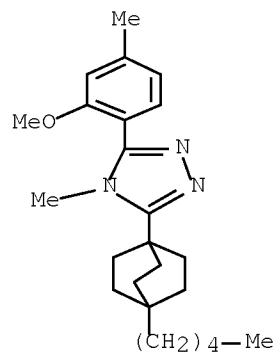
RN 719273-04-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,3-dimethoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



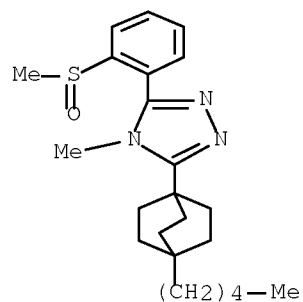
RN 719273-05-9 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-methoxy-4-methylphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



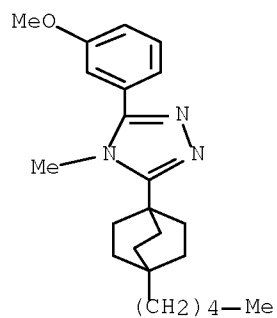
RN 719273-06-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[2-(methylsulfinyl)phenyl]-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



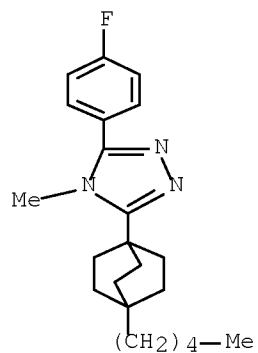
RN 719273-07-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



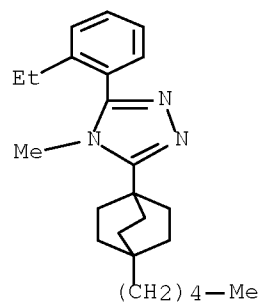
RN 719273-08-2 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-fluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



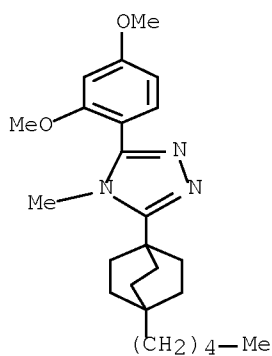
RN 719273-09-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-ethylphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



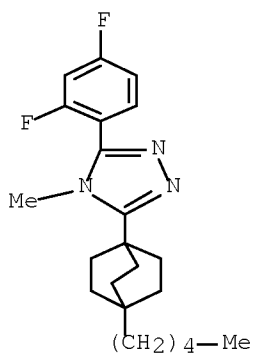
RN 719273-10-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dimethoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



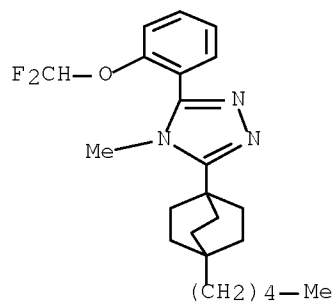
RN 719273-11-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-difluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



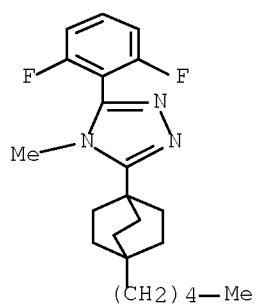
RN 719273-12-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[2-(difluoromethoxy)phenyl]-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



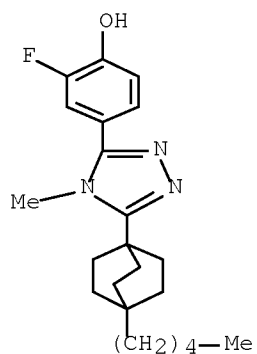
RN 719273-14-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,6-difluorophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



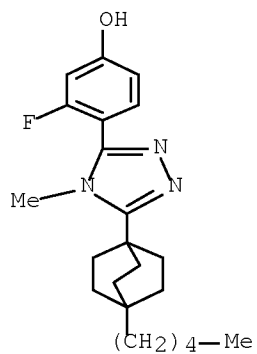
RN 719273-16-2 HCAPLUS

CN Phenol, 2-fluoro-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



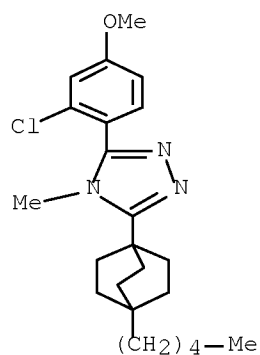
RN 719273-18-4 HCAPLUS

CN Phenol, 3-fluoro-4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



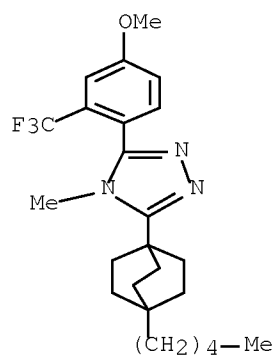
RN 719273-20-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chloro-4-methoxyphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



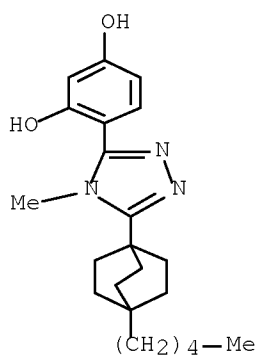
RN 719273-22-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-methoxy-2-(trifluoromethyl)phenyl]-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



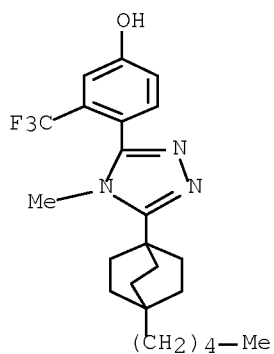
RN 719273-24-2 HCAPLUS

CN 1,3-Benzenediol, 4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



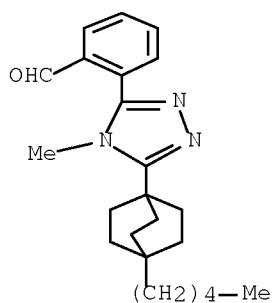
RN 719273-26-4 HCAPLUS

CN Phenol, 4-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]-3-(trifluoromethyl)- (CA INDEX NAME)



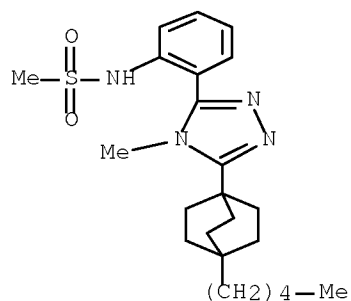
RN 719273-27-5 HCAPLUS

CN Benzaldehyde, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



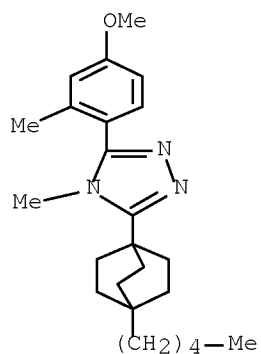
RN 719273-29-7 HCAPLUS

CN Methanesulfonamide, N-[2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]phenyl]- (CA INDEX NAME)



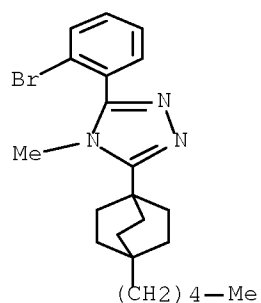
RN 719273-30-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-methoxy-2-methylphenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



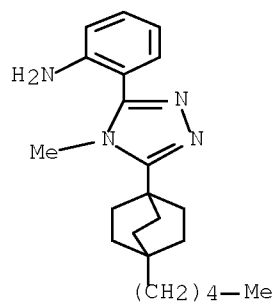
RN 719273-34-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-bromophenyl)-4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



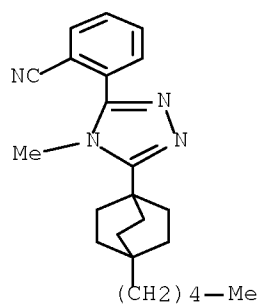
RN 719273-37-7 HCAPLUS

CN Benzenamine, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



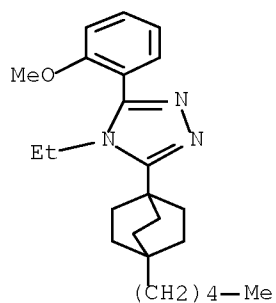
RN 719273-38-8 HCAPLUS

CN Benzonitrile, 2-[4-methyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



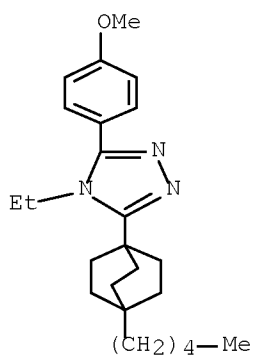
RN 719273-55-9 HCAPLUS

CN 4H-1,2,4-Triazole, 4-ethyl-3-(2-methoxyphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



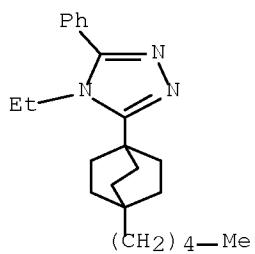
RN 719273-56-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-ethyl-3-(4-methoxyphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



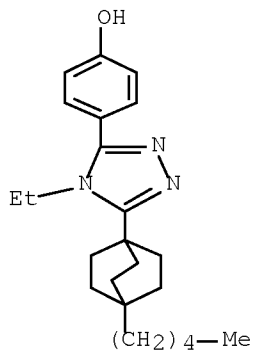
RN 719273-57-1 HCAPLUS

CN 4H-1,2,4-Triazole, 4-ethyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl- (CA INDEX NAME)



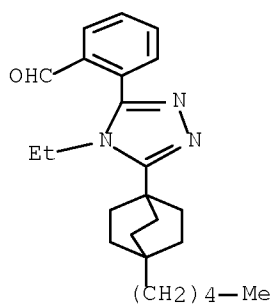
RN 719273-58-2 HCAPLUS

CN Phenol, 4-[4-ethyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



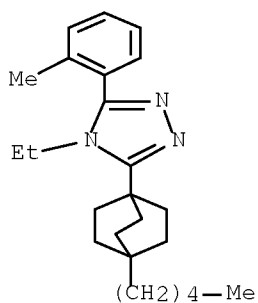
RN 719273-59-3 HCAPLUS

CN Benzaldehyde, 2-[4-ethyl-5-(4-pentylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



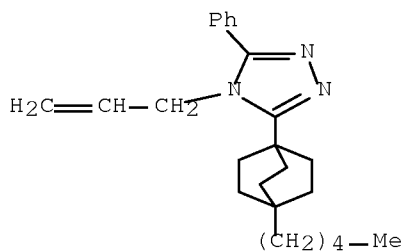
RN 719273-60-6 HCAPLUS

CN 4H-1,2,4-Triazole, 4-ethyl-3-(2-methylphenyl)-5-(4-pentylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



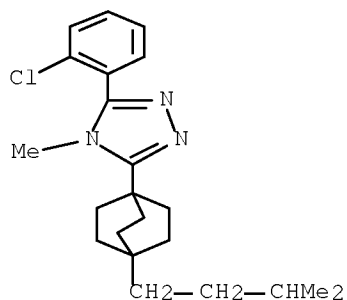
RN 719273-61-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl-4-(2-propen-1-yl)- (CA INDEX NAME)



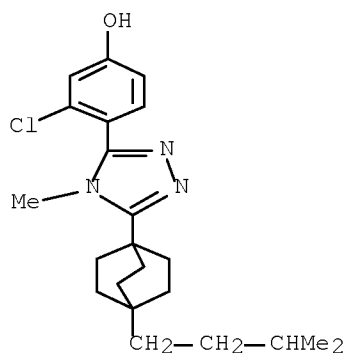
RN 719273-62-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-[4-(3-methylbutyl)bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



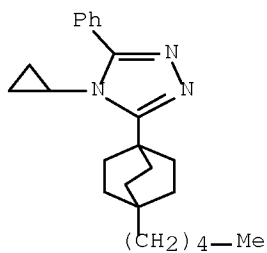
RN 719273-63-9 HCAPLUS

CN Phenol, 3-chloro-4-[4-methyl-5-[4-(3-methylbutyl)bicyclo[2.2.2]oct-1-yl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



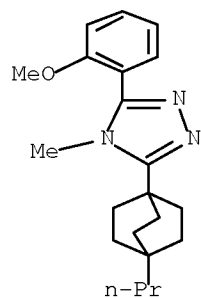
RN 719273-64-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-cyclopropyl-3-(4-pentylbicyclo[2.2.2]oct-1-yl)-5-phenyl- (CA INDEX NAME)



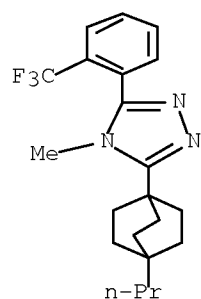
RN 719273-65-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



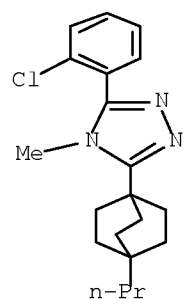
RN 719273-66-2 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(4-propylbicyclo[2.2.2]oct-1-yl)-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



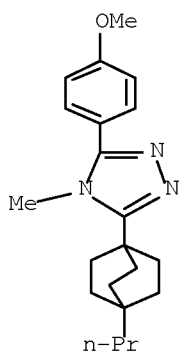
RN 719273-67-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



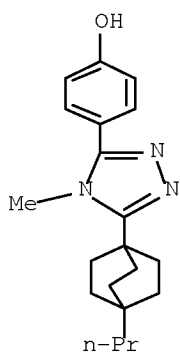
RN 719273-68-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-methoxyphenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



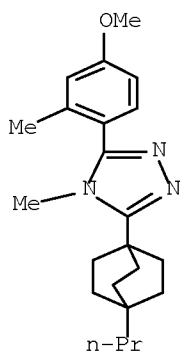
RN 719273-69-5 HCAPLUS

CN Phenol, 4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



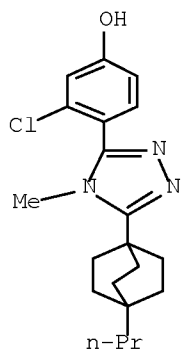
RN 719273-70-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-methoxy-2-methylphenyl)-4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)- (CA INDEX NAME)



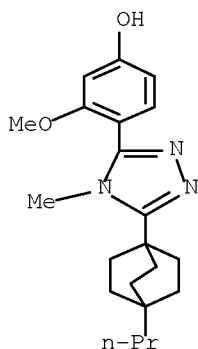
RN 719273-71-9 HCAPLUS

CN Phenol, 3-chloro-4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



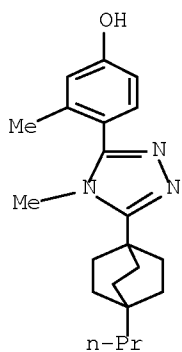
RN 719273-72-0 HCAPLUS

CN Phenol, 3-methoxy-4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



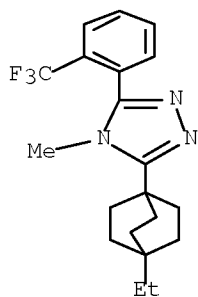
RN 719273-73-1 HCAPLUS

CN Phenol, 3-methyl-4-[4-methyl-5-(4-propylbicyclo[2.2.2]oct-1-yl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



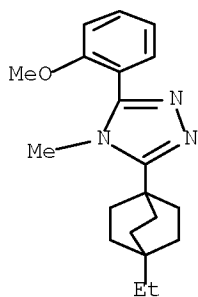
RN 719273-76-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



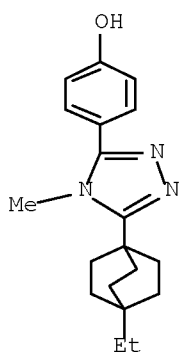
RN 719273-77-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-ethylbicyclo[2.2.2]oct-1-yl)-5-(2-methoxyphenyl)-4-methyl- (CA INDEX NAME)



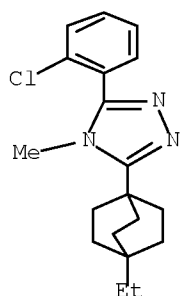
RN 719273-78-6 HCAPLUS

CN Phenol, 4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



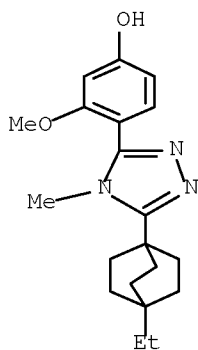
RN 719273-79-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl- (CA INDEX NAME)



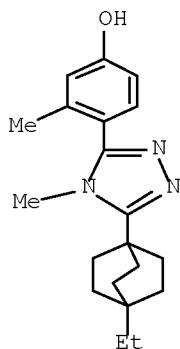
RN 719273-80-0 HCAPLUS

CN Phenol, 4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]-3-methoxy- (CA INDEX NAME)



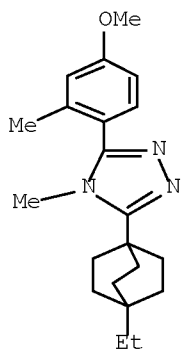
RN 719273-81-1 HCAPLUS

CN Phenol, 4-[5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl-4H-1,2,4-triazol-3-yl]-3-methyl- (CA INDEX NAME)



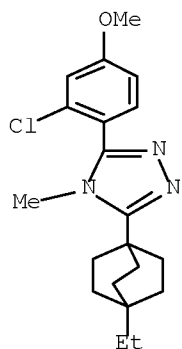
RN 719273-82-2 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-ethylbicyclo[2.2.2]oct-1-yl)-5-(4-methoxy-2-methylphenyl)-4-methyl- (CA INDEX NAME)



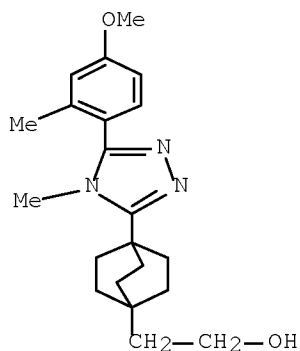
RN 719273-83-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chloro-4-methoxyphenyl)-5-(4-ethylbicyclo[2.2.2]oct-1-yl)-4-methyl- (CA INDEX NAME)



RN 719273-84-4 HCAPLUS

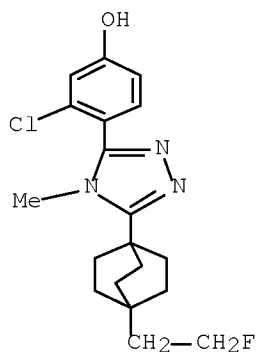
CN Bicyclo[2.2.2]octane-1-ethanol, 4-[5-(4-methoxy-2-methylphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 719273-85-5 HCAPLUS

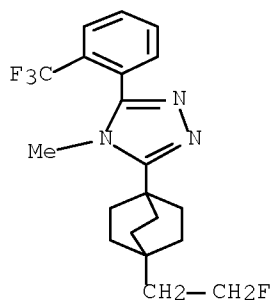
CN Phenol, 3-chloro-4-[5-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-4-methyl-

4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



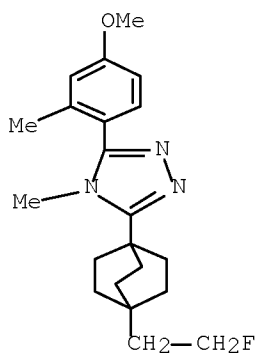
RN 719273-86-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



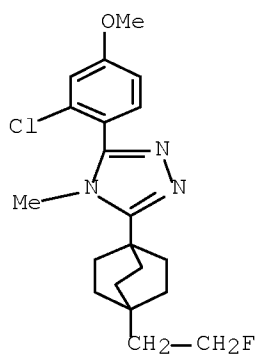
RN 719273-87-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-5-(4-methoxy-2-methylphenyl)-4-methyl- (CA INDEX NAME)



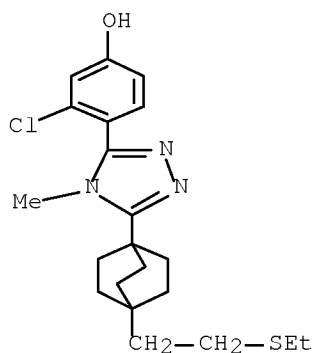
RN 719273-88-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chloro-4-methoxyphenyl)-5-[4-(2-fluoroethyl)bicyclo[2.2.2]oct-1-yl]-4-methyl- (CA INDEX NAME)



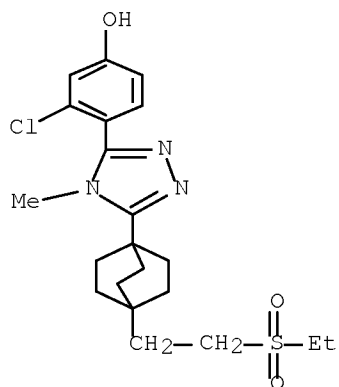
RN 719273-89-9 HCAPLUS

CN Phenol, 3-chloro-4-[5-[4-[2-(ethylthio)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



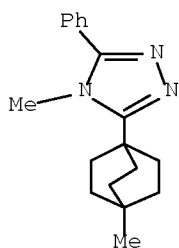
RN 719273-90-2 HCAPLUS

CN Phenol, 3-chloro-4-[5-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



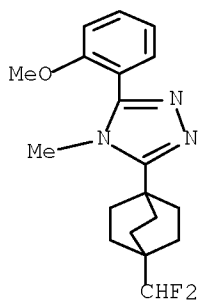
RN 719273-91-3 HCAPLUS

4H-1,2,4-Triazole, 4-methyl-3-(4-methylbicyclo[2.2.2]oct-1-yl)-5-phenyl-
(CA INDEX NAME)



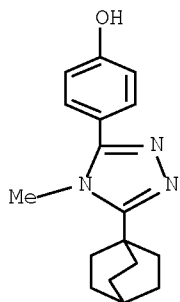
RN 719273-92-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-(difluoromethyl)bicyclo[2.2.2]oct-1-yl]-5-(2-methoxyphenyl)-4-methyl- (CA INDEX NAME)



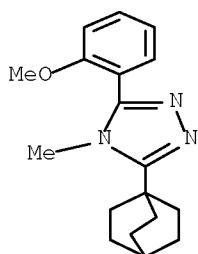
RN 719273-93-5 HCAPLUS

CN Phenol, 4-(5-bicyclo[2.2.2]oct-1-yl-4-methyl-4H-1,2,4-triazol-3-yl)- (CA
INDEX NAME)



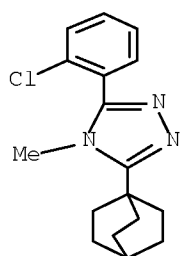
RN 719273-95-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-5-(2-methoxyphenyl)-4-methyl-
(CA INDEX NAME)



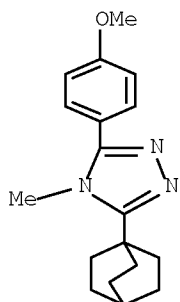
RN 719273-97-9 HCAPLUS

CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-5-(2-chlorophenyl)-4-methyl-
(CA INDEX NAME)



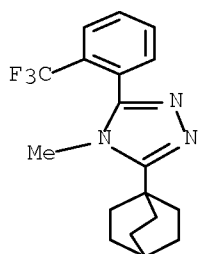
RN 719273-98-0 HCAPLUS

CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-5-(4-methoxyphenyl)-4-methyl-
(CA INDEX NAME)



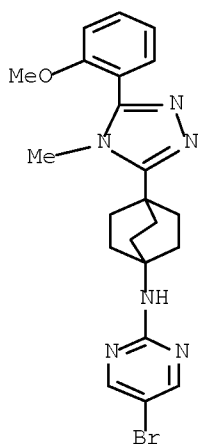
RN 719273-99-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-bicyclo[2.2.2]oct-1-yl-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-06-3 HCAPLUS

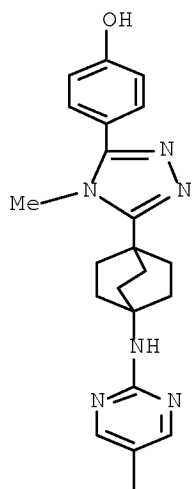
CN 2-Pyrimidinamine, 5-bromo-N-[4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



RN 719274-08-5 HCAPLUS

CN Phenol, 4-[5-[4-[(5-bromo-2-pyrimidinyl)amino]bicyclo[2.2.2]oct-1-yl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

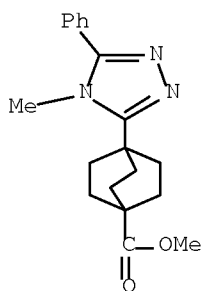
PAGE 1-A



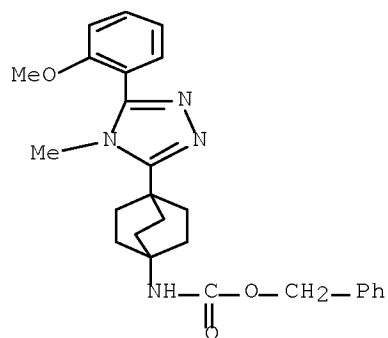
PAGE 2-A



RN 719274-10-9 HCAPLUS
 CN Bicyclo[2.2.2]octane-1-carboxylic acid,
 4-(4-methyl-5-phenyl-4H-1,2,4-triazol-3-yl)-, methyl ester (CA INDEX
 NAME)

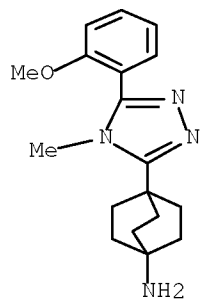


RN 719274-12-1 HCAPLUS
 CN Carbamic acid, [4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



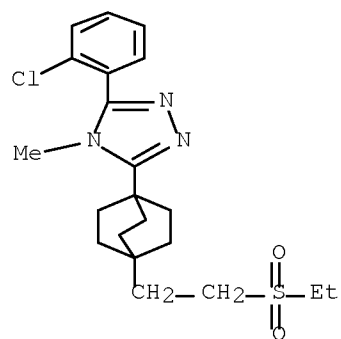
RN 719274-13-2 HCAPLUS

CN Bicyclo[2.2.2]octan-1-amine, 4-[5-(2-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



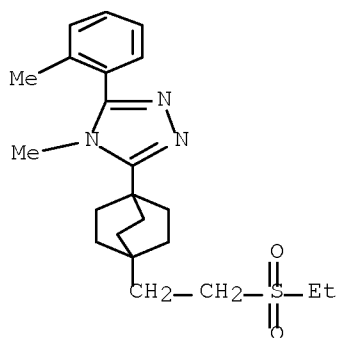
RN 719274-16-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-5-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl- (CA INDEX NAME)



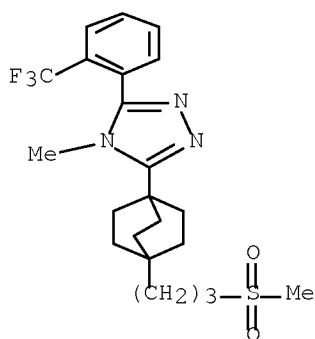
RN 719274-17-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-(2-methylphenyl)- (CA INDEX NAME)



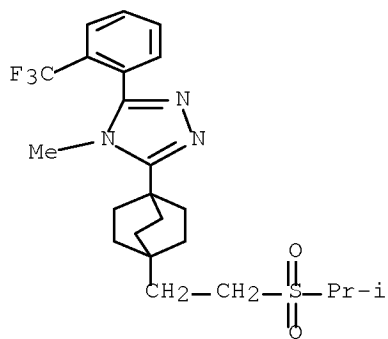
RN 719274-19-8 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[3-(methylsulfonyl)propyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-21-2 HCAPLUS

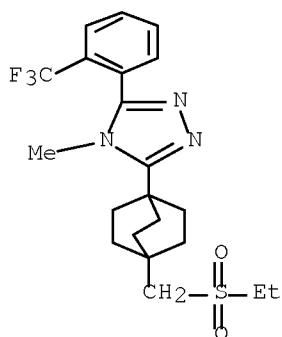
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[2-[(1-methylethyl)sulfonyl]ethyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-22-3 HCAPLUS

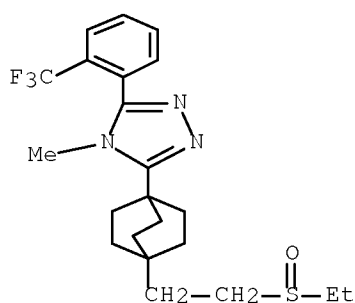
CN 4H-1,2,4-Triazole, 3-[4-[(ethylsulfonyl)methyl]bicyclo[2.2.2]oct-1-yl]-4-

methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



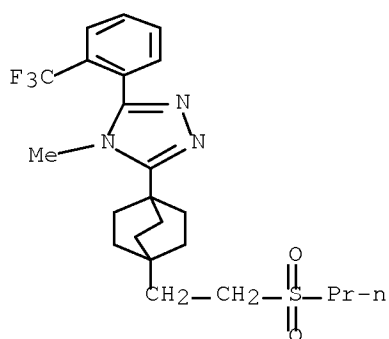
RN 719274-23-4 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfinyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



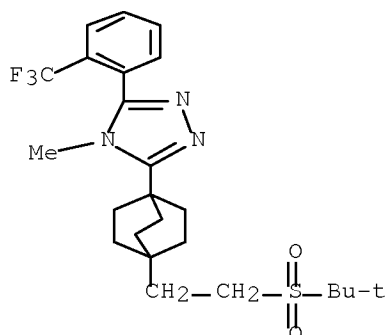
RN 719274-24-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[2-(propylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



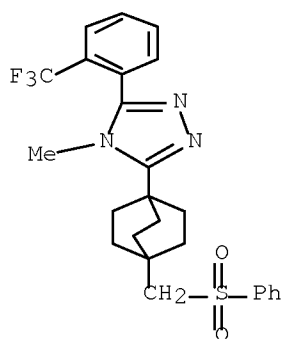
RN 719274-25-6 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-[2-[(1,1-dimethylethyl)sulfonyl]ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-26-7 HCAPLUS

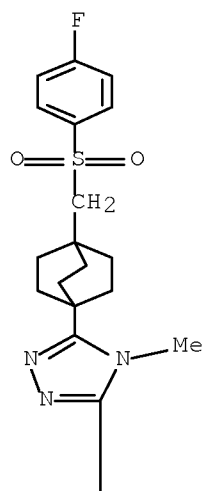
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[(phenylsulfonyl)methyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



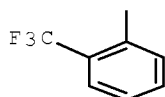
RN 719274-27-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-[[4-fluorophenyl)sulfonyl]methyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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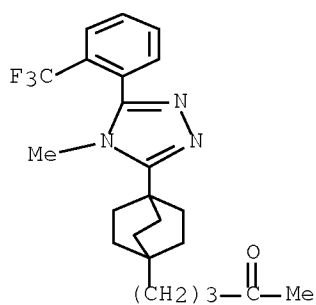


PAGE 2-A



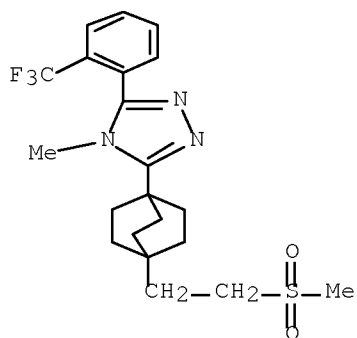
RN 719274-28-9 HCAPLUS

CN 2-Pentanone, 5-[4-[4-methyl-5-[2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-3-yl]bicyclo[2.2.2]oct-1-yl]- (CA INDEX NAME)



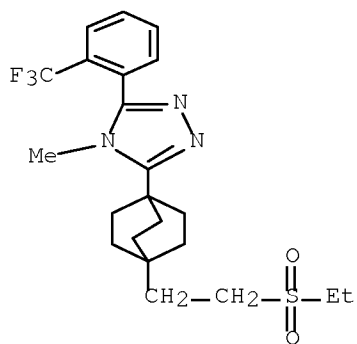
RN 719274-36-9 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[4-[2-(methanesulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-5-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 719274-68-7 HCAPLUS

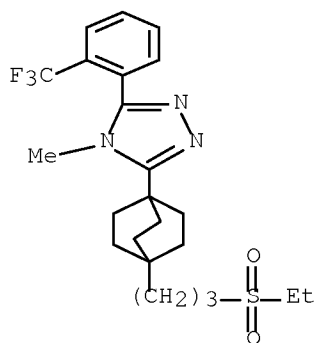
CN 4H-1,2,4-Triazole, 3-[4-[2-(ethylsulfonyl)ethyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

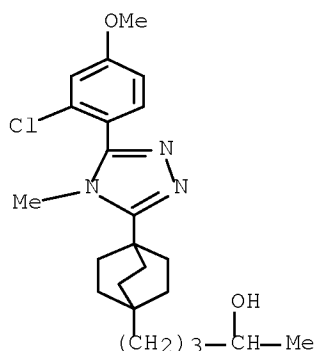
RN 719274-77-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-[4-[3-(ethylsulfonyl)propyl]bicyclo[2.2.2]oct-1-yl]-4-methyl-5-[2-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 719274-55-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 2-(bicyclo[2.2.2]octan-1-yl)-1,2,4-triazole derivs. as selective inhibitors of 11-beta-hydroxysteroid dehydrogenase-1 for treating diabetes, hyperglycemia, obesity and atherosclerosis)
 RN 719274-55-2 HCAPLUS
 CN Bicyclo[2.2.2]octane-1-butanol, 4-[5-(2-chloro-4-methoxyphenyl)-4-methyl-4H-1,2,4-triazol-3-yl]- α -methyl- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:513332 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:47361

TITLE: Combination therapy using an appetite suppressant and/or a metabolic rate enhancer and/or a nutrient absorption inhibitor for the treatment of obesity and obesity-related disorders

INVENTOR(S): Nargund, Ravi P.; Van der Ploeg, Leonardus H. T.; Fong, Tung M.; MacNeil, Douglas J.; Chen, Howard Y.;

PATENT ASSIGNEE(S): Marsh, Donald J.; Warmke, Jeffrey
 SOURCE: USA
 U.S. Pat. Appl. Publ., 43 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

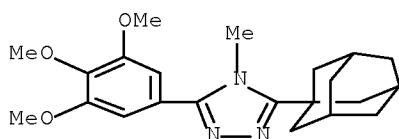
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040122033	A1	20040624	US 2003-730704	20031208
PRIORITY APPLN. INFO.:			US 2002-432063P	P 20021210

AB The invention discloses compns. comprising an appetite suppressant and/or a metabolic rate enhancer and/or a nutrient absorption inhibitor useful for the treatment of obesity, and obesity-related disorders. The invention also discloses methods for treating or preventing obesity and obesity-related disorders in a subject in need thereof by administering a composition of the invention. The invention further discloses pharmaceutical compns., medicaments, and kits useful in carrying out the methods. Preparation of 11 β -hydroxysteroid dehydrogenase 1 inhibitors is included.

IT 581788-99-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (appetite suppressant and/or metabolic rate enhancer and/or nutrient absorption inhibitor for treatment of obesity and obesity-related disorders)

RN 581788-99-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.1^{3,7}]dec-1-yl-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



IT 9041-46-7, Corticosteroid 11 β - dehydrogenase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (isoform 1, inhibitors; appetite suppressant and/or metabolic rate enhancer and/or nutrient absorption inhibitor for treatment of obesity and obesity-related disorders)

RN 9041-46-7 HCAPLUS

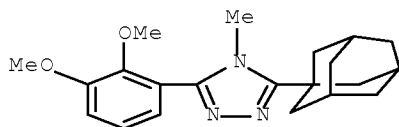
CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L46 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:737487 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 139:255386
 TITLE: Method using CB1 receptor antagonists and
 11 β -hydroxysteroid dehydrogenase 1
 (11 β -HSD1) inhibitors for the treatment or

prevention of obesity
 INVENTOR(S): Fong, Tung M.; Van Der Ploeg, Leonardus H. T.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003075660	A1	20030918	WO 2003-US6031	20030228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003219934	A1	20030922	AU 2003-219934	20030228
EP 1482794	A1	20041208	EP 2003-716219	20030228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050171161	A1	20050804	US 2004-506395	20040901
PRIORITY APPLN. INFO.:			US 2002-362275P	P 20020306
			WO 2003-US6031	W 20030228
AB	The invention provides a method for treating or preventing obesity (or suppressing the appetite) in a human patient by antagonizing CB1 receptors and inhibiting the enzyme 11 β -HSD1 in an amount that is effective to treat or prevent obesity. Compds. useful in the invention have an ion channel activity level greater than about 2 μ M. Preferably the compound is a dual selective inhibitor, selectively antagonizing CB1 receptors and selectively inhibiting the enzyme 11 β -HSD1. Preparation of a series of imidazole derivs. is included.			
IT	9041-46-7, 11 β -Hydroxysteroid dehydrogenase 1 RL: BSU (Biological study, unclassified); BIOL (Biological study) (CB1 receptor antagonists and 11 β -hydroxysteroid dehydrogenase 1 inhibitors for treatment or prevention of obesity)			
RN	9041-46-7 HCAPLUS			
CN	Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)			
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***				
IT	600637-18-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (CB1 receptor antagonists and 11 β -hydroxysteroid dehydrogenase 1 inhibitors for treatment or prevention of obesity)			
RN	600637-18-1 HCAPLUS			
CN	4H-1,2,4-Triazole, 3-(2,3-dimethoxyphenyl)-4-methyl-5-tricyclo[3.3.1.1 ^{3,7}]dec-1-yl- (CA INDEX NAME)			



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:633402 HCAPLUS Full-text

DOCUMENT NUMBER: 139:180065

TITLE: Preparation of 1,2,4-triazole derivatives as 11 β -hydroxysteroid dehydrogenase 1 inhibitors useful for the treatment of diabetes, obesity and dyslipidemia

INVENTOR(S): Balkovec, James M.; Thieringer, Rolf; Mundt, Steven S.; Hermanowski-Vosatka, Anne; Graham, Donald W.; Patel, Gool F.; Aster, Susan D.; Waddell, Sherman T.; Olson, Steven H.; Maletic, Milana

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

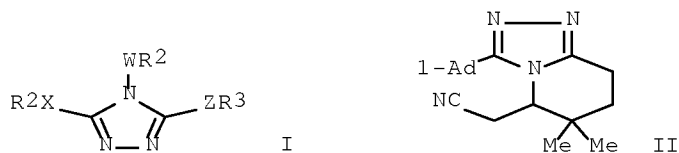
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003065983	A2	20030814	WO 2003-US2558	20030128
WO 2003065983	A3	20031127		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2474168	A1	20030814	CA 2003-2474168	20030128
AU 2003207717	A1	20030902	AU 2003-207717	20030128
AU 2003207717	B2	20080703		
EP 1474139	A2	20041110	EP 2003-705952	20030128
EP 1474139	B1	20071121		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005525326	T	20050825	JP 2003-565409	20030128
US 20050070720	A1	20050331	US 2004-502967	20040729
US 7329683	B2	20080212		

PRIORITY APPLN. INFO.: US 2002-353592P P 20020201
WO 2003-US2558 W 20030128

OTHER SOURCE(S): MARPAT 139:180065

GI



AB Triazoles I [R1 = (un)substituted adamantyl; W = (un)substituted NH, bond; X = CH2, bond; Z = S, bond; R2 = H, (un)substituted alkyl, alkenyl, CH2CO2H, cycloalkyl, bicycloalkyl, adamantyl; R3 = H, (un)substituted alkyl, alkenyl] were prepared. They inhibit the 11 β -HSD1-mediated conversion of cortisone and other 11-keto-glucocorticoids to cortisol and other 11 β -hydroxy-glucocorticoids (no data). The 11 β -HSD1 inhibitors therefore decrease the amount of cortisol in target tissues, thereby modulating the effects of cortisol. Modulation of cortisol may be effective in controlling non-insulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Syndrome X, and other symptoms associated with NIDDM or with excess cortisol in the body. Thus, the triazole II was prepared by treating 1-adamantanecarbonylhydrazine with 2-methoxy-5,5-dimethyl-3,4,5,6-tetrahydropyridine-6-acetonitrile.

IT 9041-46-7, 11 β -Hydroxysteroid dehydrogenase 1
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of 1,2,4-triazole derivs. as 11 β -hydroxysteroid
 dehydrogenase 1 inhibitors)

RN 9041-46-7 HCAPLUS

CN Dehydrogenase, 11 β -hydroxy steroid (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

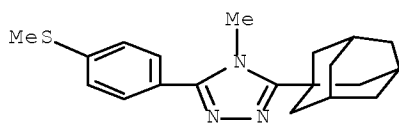
IT 581788-84-3P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
 USES (Uses)

(preparation of 1,2,4-triazole derivs. as 11 β -hydroxysteroid
 dehydrogenase 1 inhibitors)

RN 581788-84-3 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylthio)phenyl]-5-
 tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



IT 581788-60-5P 581788-61-6P 581788-63-8P
 581788-65-0P 581788-67-2P 581788-68-3P
 581788-70-7P 581788-72-9P 581788-74-1P
 581788-76-3P 581788-78-5P 581788-80-9P
 581788-82-1P 581788-86-5P 581788-88-7P
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 581788-96-7P 581788-98-9P 581788-99-0P

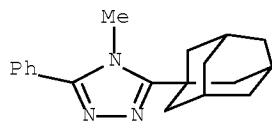
581789-36-8P 581789-39-1P 581789-41-5P
 581789-43-7P 581789-45-9P 581789-49-3P
 581789-64-2P 581789-66-4P 581790-05-8P
 581790-15-0P 581790-40-1P 581790-59-2P
 581790-61-6P 581790-63-8P 581791-51-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,2,4-triazole derivs. as 11β -hydroxysteroid dehydrogenase 1 inhibitors)

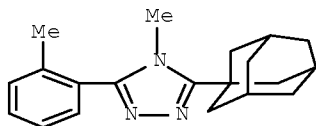
RN 581788-60-5 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



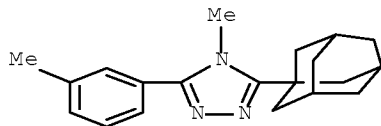
RN 581788-61-6 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(2-methylphenyl)-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



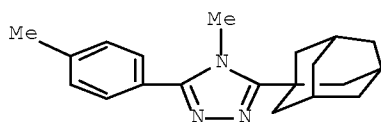
RN 581788-63-8 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-(3-methylphenyl)-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)

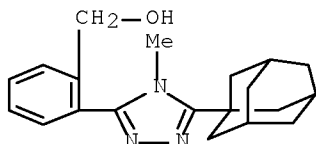


RN 581788-65-0 HCAPLUS

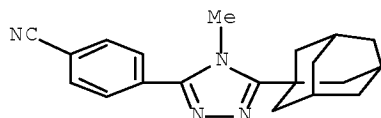
CN 4H-1,2,4-Triazole, 4-methyl-3-(4-methylphenyl)-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



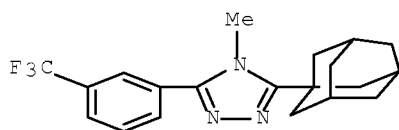
RN 581788-67-2 HCAPLUS

CN Benzenemethanol, 2-(4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)

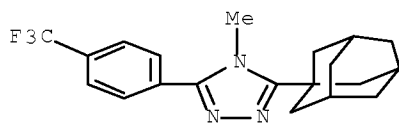
RN 581788-68-3 HCAPLUS

CN Benzonitrile, 4-(4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)

RN 581788-70-7 HCAPLUS

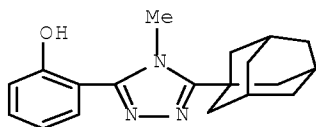
CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.1^{3,7}]dec-1-yl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 581788-72-9 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.1^{3,7}]dec-1-yl-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

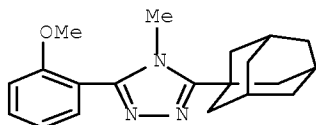
RN 581788-74-1 HCAPLUS

CN Phenol, 2-(4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



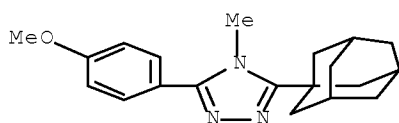
RN 581788-76-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-methoxyphenyl)-4-methyl-5-tricyclo[3.3.1.1.3,7]dec-1-yl- (CA INDEX NAME)



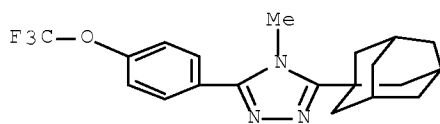
RN 581788-78-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-methoxyphenyl)-4-methyl-5-tricyclo[3.3.1.1.3,7]dec-1-yl- (CA INDEX NAME)



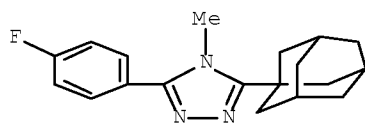
RN 581788-80-9 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.1.3,7]dec-1-yl-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



RN 581788-82-1 HCAPLUS

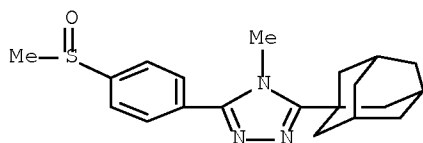
CN 4H-1,2,4-Triazole, 3-(4-fluorophenyl)-4-methyl-5-tricyclo[3.3.1.1.3,7]dec-1-yl- (CA INDEX NAME)



RN 581788-86-5 HCAPLUS

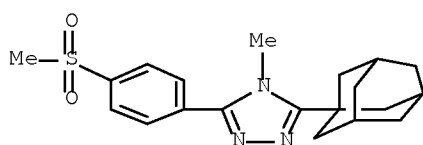
CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylsulfinyl)phenyl]-5-

tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



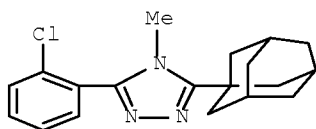
RN 581788-88-7 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-[4-(methylsulfonyl)phenyl]-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



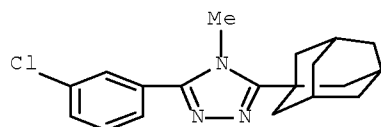
RN 581788-90-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2-chlorophenyl)-4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



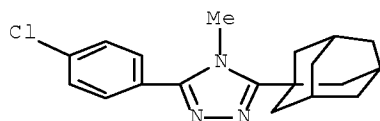
RN 581788-92-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3-chlorophenyl)-4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



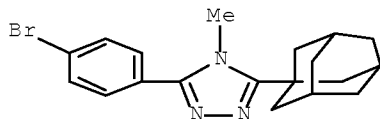
RN 581788-94-5 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-chlorophenyl)-4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



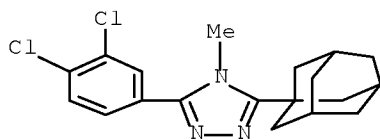
RN 581788-96-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-bromophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



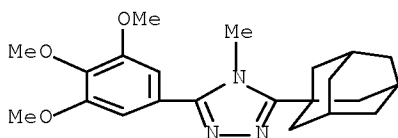
RN 581788-98-9 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(3,4-dichlorophenyl)-4-methyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



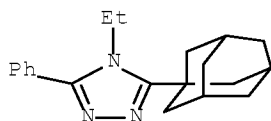
RN 581788-99-0 HCAPLUS

CN 4H-1,2,4-Triazole, 4-methyl-3-tricyclo[3.3.1.13,7]dec-1-yl-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

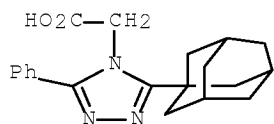


RN 581789-36-8 HCAPLUS

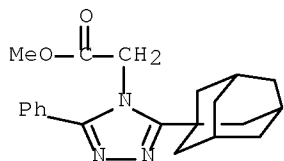
CN 4H-1,2,4-Triazole, 4-ethyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



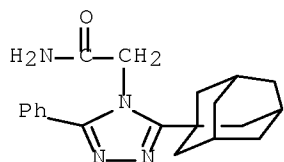
RN 581789-39-1 HCAPLUS

CN 4H-1,2,4-Triazole-4-acetic acid, 3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-
(CA INDEX NAME)

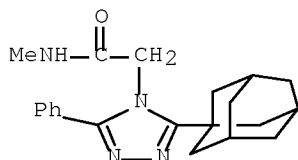
RN 581789-41-5 HCAPLUS

CN 4H-1,2,4-Triazole-4-acetic acid, 3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-,
methyl ester (CA INDEX NAME)

RN 581789-43-7 HCAPLUS

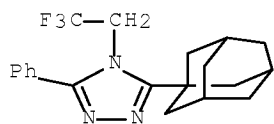
CN 4H-1,2,4-Triazole-4-acetamide, 3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-
(CA INDEX NAME)

RN 581789-45-9 HCAPLUS

CN 4H-1,2,4-Triazole-4-acetamide, N-methyl-3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-
(CA INDEX NAME)

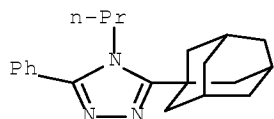
RN 581789-49-3 HCAPLUS

CN 4H-1,2,4-Triazole, 3-phenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl-4-(2,2,2-
trifluoroethyl)- (CA INDEX NAME)



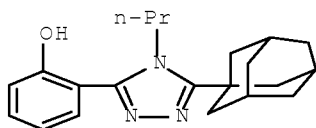
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CN 4H-1,2,4-Triazole, 3-phenyl-4-propyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



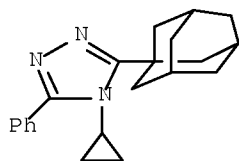
RN 581789-66-4 HCAPLUS

CN Phenol, 2-(4-propyl-5-tricyclo[3.3.1.13,7]dec-1-yl-4H-1,2,4-triazol-3-yl)- (CA INDEX NAME)



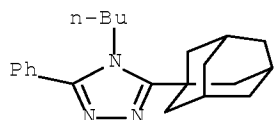
RN 581790-05-8 HCAPLUS

CN 4H-1,2,4-Triazole, 4-cyclopropyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)

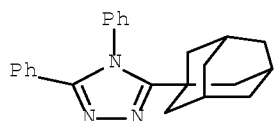


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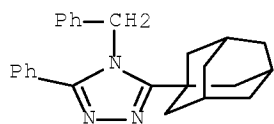
CN 4H-1,2,4-Triazole, 4-butyl-3-phenyl-5-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)



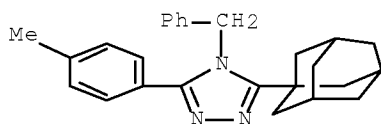
RN 581790-40-1 HCAPLUS

CN 4H-1,2,4-Triazole, 3,4-diphenyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)

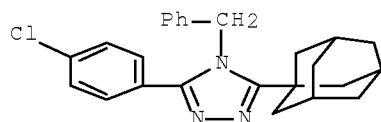
RN 581790-59-2 HCAPLUS

CN 4H-1,2,4-Triazole, 3-phenyl-4-(phenylmethyl)-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)

RN 581790-61-6 HCAPLUS

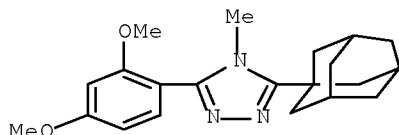
CN 4H-1,2,4-Triazole, 3-(4-methylphenyl)-4-(phenylmethyl)-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)

RN 581790-63-8 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(4-chlorophenyl)-4-(phenylmethyl)-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)

RN 581791-51-7 HCAPLUS

CN 4H-1,2,4-Triazole, 3-(2,4-dimethoxyphenyl)-4-methyl-5-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)

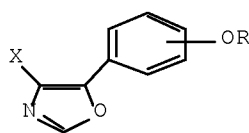


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

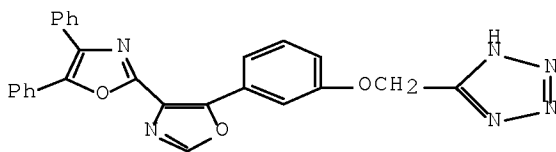
L46 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1994:245113 HCAPLUS Full-text
 DOCUMENT NUMBER: 120:245113
 ORIGINAL REFERENCE NO.: 120:43461a,43464a
 TITLE: (Diphenylheterocyclyl)oxazole platelet aggregation inhibitor
 INVENTOR(S): Romine, Jeffrey L.; Meanwell, Nicholas A.; Martin, Scott W.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
 SOURCE: U.S., 15 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5254576	A	19931019	US 1992-862680	19920403
US 5380854	A	19950110	US 1993-92402	19930714
PRIORITY APPLN. INFO.:			US 1992-862680	A3 19920403
OTHER SOURCE(S):	CASREACT 120:245113; MARPAT 120:245113			

GI



I



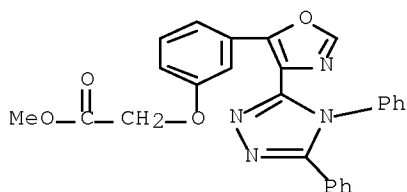
II

AB The title compds. I [R = H, CH₂R₂; R₂ = tetrazolyl, H, CN, CO₂R₃, OR₃; R₃ = H, C₁-4 alkyl; X = diphenyl- and/or thienyl-substituted triazole, imidazole, thiazole, oxazole], which have enhanced water solubility, bioavailability, and metabolic stability, useful for inhibiting blood platelet aggregation, are prepared Thus, [3-[4,5-(diphenyl-2-oxazolyl)-5-oxazolyl]phenoxy]acetonitrile was reacted with Bu₃SnN₃, producing tetrazole II. II demonstrated 50% inhibitory concentration of ADP-induced aggregation of human platelet-rich plasma of 0.06 µg/mL.

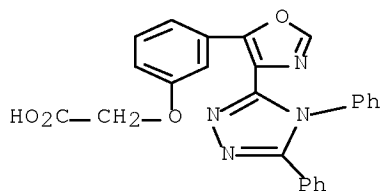
IT 152576-19-7P 153395-84-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and blood platelet aggregation inhibitory activity of)

RN 152576-19-7 HCAPLUS
 CN Acetic acid, 2-[3-[4-(4,5-diphenyl-4H-1,2,4-triazol-3-yl)-5-oxazolyl]phenoxy]-, methyl ester (CA INDEX NAME)



RN 153395-84-7 HCAPLUS
 CN Acetic acid, 2-[3-[4-(4,5-diphenyl-4H-1,2,4-triazol-3-yl)-5-oxazolyl]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1986:450758 HCAPLUS Full-text

DOCUMENT NUMBER: 105:50758

ORIGINAL REFERENCE NO.: 105:8229a,8232a

TITLE: Inhibiting action of certain substituted
 1,2,4-triazoles

AUTHOR(S): Voloshin, V. F.; Golosova, O. P.; Mazalevskaya, L. A.

CORPORATE SOURCE: Inzh.-Stroit. Inst., Dnepropetrovsk, USSR

SOURCE: Zashchita Metallov (1986), 22(3), 472-3

CODEN: ZAMEA9; ISSN: 0044-1856

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB The weight-loss method was used to study the inhibiting effect of a number of synthesized 1,2,4-triazole derivs. (9) on steel St. 3 in 10% HCl at 25°. The pKa and characteristic protective effects are presented in a table for these derivs.

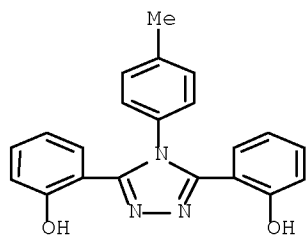
IT 35210-61-8 103313-42-4 103313-43-5

RL: PRP (Properties)

(corrosion inhibitor, for steel in hydrochloric acid)

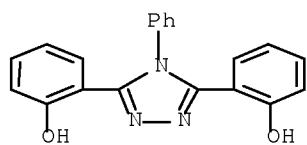
RN 35210-61-8 HCAPLUS

CN Phenol, 2,2'-[4-(4-methylphenyl)-4H-1,2,4-triazole-3,5-diyl]bis- (CA INDEX NAME)



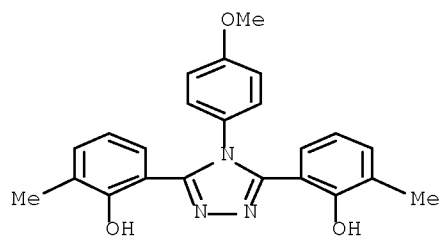
RN 103313-42-4 HCAPLUS

CN Phenol, 2,2'-(4-phenyl-4H-1,2,4-triazole-3,5-diyl)bis- (CA INDEX NAME)



RN 103313-43-5 HCAPLUS

CN Phenol, 2,2'-[4-(4-methoxyphenyl)-4H-1,2,4-triazole-3,5-diyl]bis[6-methyl-
(CA INDEX NAME)]



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FILE 'REGISTRY' ENTERED AT 15:53:19 ON 13 FEB 2009

L1 STR
L3 8120 SEA SSS FUL L1
L15 576 SEA ABB=ON PLU=ON HYDROXYSTEROID(L) DEHYDROGENASE

FILE 'HCAPLUS' ENTERED AT 16:37:06 ON 13 FEB 2009

L16 11579 SEA ABB=ON PLU=ON "11B-HYDROXYSTEROID DEHYDROGENASE"/CV
OR L15 OR DEHYDROGENASE(5A) STERIOD

FILE 'REGISTRY' ENTERED AT 16:59:17 ON 13 FEB 2009

L30 STR
L36 STR
L38 STR
L39 STR
L40 1457 SEA SUB=L3 SSS FUL L30 NOT (L36 OR L38 OR L39)
L41 STR
L42 1183 SEA SUB=L40 SSS FUL L30 NOT L41

FILE 'HCAPLUS' ENTERED AT 17:17:15 ON 13 FEB 2009

L43 382 SEA ABB=ON PLU=ON L42
L44 22 SEA ABB=ON PLU=ON L43(L) INHIBIT?
L45 18 SEA ABB=ON PLU=ON L43 AND L16
L46 24 SEA ABB=ON PLU=ON L44 OR L45
D STAT QUE L46
D IBIB ABS HITSTR L46 1-24

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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DICTIONARY FILE UPDATES: 12 FEB 2009 HIGHEST RN 1105123-28-1

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FILE HCAPLUS

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FILE COVERS 1907 - 13 Feb 2009 VOL 150 ISS 8
FILE LAST UPDATED: 12 Feb 2009 (20090212/ED)

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